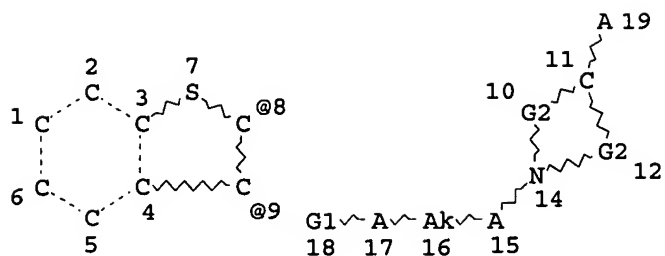


=> d l3
 L3 HAS NO ANSWERS
 L3 STR



VAR G1=8/9
 REP G2=(1-3) C
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC 9
 NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

=> s l3 ful
 FULL SEARCH INITIATED 08:41:05 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 232185 TO ITERATE

100.0% PROCESSED 232185 ITERATIONS
 SEARCH TIME: 00.00.04

83 ANSWERS

L5 83 SEA SSS FUL L3

=> d his

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FILE 'REGISTRY' ENTERED AT 08:40:04 ON 31 MAY 2006
ACTIVATE CC623119N/A

L1 STR
L2 455 SEA FILE=REGISTRY SSS FUL L1

L3 STRUC
L4 0 S L3
L5 83 S L3 FUL

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L6 20 S L5

=> s us6627654/pn
L7 1 US6627654/PN

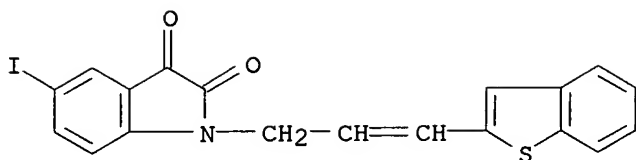
=> s l6 not l7
L8 20 L6 NOT L7

=> s wo200183472/pn
L9 1 WO200183472/PN
(WO2001083472/PN)

=> s l6 not l9
L10 19 L6 NOT L9

=> d bib hitstr 1-19

L10 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:511375 CAPLUS
DN 143:172717
TI Synthesis and evaluation of isatin derivatives as effective SARS
coronavirus 3CL protease inhibitors
AU Chen, Li-Rung; Wang, Yu-Chin; Lin, Yi Wen; Chou, Shan-Yen; Chen,
Shyh-Fong; Liu, Lee Tai; Wu, Ying-Ta; Kuo, Chih-Jung; Chen, Tom
Shieh-Shung; Juang, Shin-Hun
CS Development Center for Biotechnology, Taipei, 221, Taiwan
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(12), 3058-3062
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 143:172717
IT **861216-09-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(preparation and SARS coronavirus 3CL protease inhibitory activity of
N-substituted isatins via N-alkylation of isatins with alkyl bromides)
RN 861216-09-3 CAPLUS
CN 1H-Indole-2,3-dione, 1-(3-benzo[b]thien-2-yl-2-propenyl)-5-iodo- (9CI)
(CA INDEX NAME)



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:182630 CAPLUS

DN 142:280063

TI Preparation of arylacryloylpiperidinylamides as VLA-1 integrin antagonists for the treatment of conditions mediated by cell adhesion

IN Boyd, Steven A.; Miller, Scott; Thomas, Allen; Xu, Rui; Lehuierou, Yvan; Gunawardana, Indrani; Zhang, Gan; Demeese, Jason; McLaughlin, Martin; Yanik, Matthew; Lupher, Mark L., Jr.; Jacobson, Irina C.; Thorsett, Eugene

PA Icos Corporation, USA

SO PCT Int. Appl., 255 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005019177	A1	20050303	WO 2004-US26207	20040812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-495607P P 20030814

OS MARPAT 142:280063

IT 847458-62-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

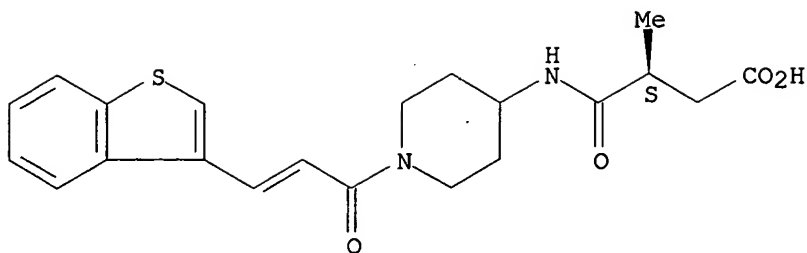
(drug candidate; preparation of arylacryloylpiperidinylamides as VLA-1 integrin antagonists for the treatment of conditions mediated by cell adhesion such as asthma, atherosclerosis, cancer, and rheumatoid arthritis)

RN 847458-62-2 CAPLUS

CN Butanoic acid, 4-[[1-(3-benzo[b]thien-3-yl-1-oxo-2-propenyl)-4-piperidinyl]amino]-3-methyl-4-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

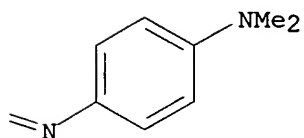
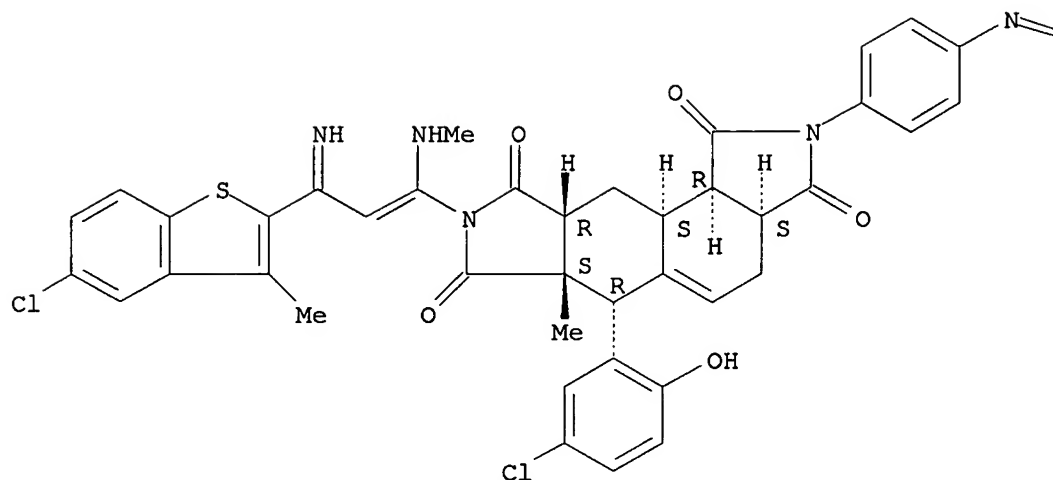
Double bond geometry unknown.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:473774 CAPLUS
DN 139:256998
TI Expanding the functional group compatibility of small-molecule
microarrays: Discovery of novel calmodulin ligands
AU Barnes-Seeman, David; Park, Seung Bum; Koehler, Angela N.; Schreiber,
Stuart L.
CS Howard Hughes Medical Institute Department of Chemistry and Chemical
Biology, Harvard University, Cambridge, MA, 02138, USA
SO Angewandte Chemie, International Edition (2003), 42(21), 2376-2379
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 139:256998
IT **601514-10-7**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(identification of calmodulin ligands using small mol. microarray
screening with diazobenzylidene-derivatized glass slides)
RN 601514-10-7 CAPLUS
CN Isoindolo[5,6-e]isoindole-1,3,7,9(2H,8H)-tetrone, 6-(5-chloro-2-
hydroxyphenyl)-8-[3-(5-chloro-3-methylbenzo[b]thien-2-yl)-3-imino-1-
(methylamino)-1-propenyl]-2-[4-[[4-(dimethylamino)phenyl]azo]phenyl]-
3a,4,6,6a,9a,10,10a,10b-octahydro-6a-methyl-, (3aS,6R,6aS,9aR,10aS,10bR)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:22848 CAPLUS
DN 138:90071
TI Preparation of fluoropyrrolidinecarbonitrile derivatives as dipeptidyl
peptidase inhibitors
IN Haffner, Curt Dale; McDougald, Darryl Lynn; Randhawa, Amarjit Sab;
Reister, Steven Michael; Lenhard, James Martin
PA SmithKline Beecham Corporation, USA
SO PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003002531	A2	20030109	WO 2002-US20471	20020626
	WO 2003002531	A3	20030403		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,				

GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2450722	AA	20030109	CA 2002-2450722	20020626
EP 1406873	A2	20040414	EP 2002-756329	20020626

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2002010644	A	20040720	BR 2002-10644	20020626
JP 2004535445	T2	20041125	JP 2003-508714	20020626
CN 1723196	A	20060118	CN 2002-812736	20020626
ZA 2003009170	A	20050225	ZA 2003-9170	20031125
US 2004171848	A1	20040902	US 2003-481293	20031219

PRAI US 2001-301333P P 20010627
 US 2002-376015P P 20020426
 WO 2002-US20471 W 20020626

OS MARPAT 138:90071

IT **483368-07-6P**

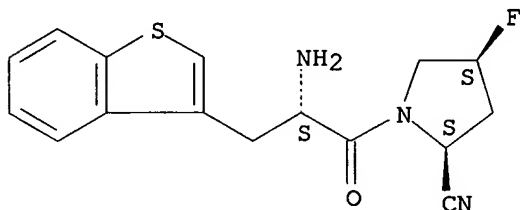
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of fluoropyrrolidinecarbonitrile derivs. as dipeptidyl
 peptidase inhibitors)

RN 483368-07-6 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[(2S)-2-amino-3-benzo[b]thien-3-yl-1-
 oxopropyl]-4-fluoro-, monohydrochloride, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L10 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:777885 CAPLUS

DN 137:295252

TI Preparation of peptides for pharmaceutical use as modulators of
 melanocortin receptors

IN Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton,
 George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.;
 Thibault, Carl

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002079146	A2	20021010	WO 2002-US6581	20020302
	WO 2002079146	A3	20030206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2438272 AA 20021010 CA 2002-2438272 20020302
 EP 1363631 A2 20031126 EP 2002-741644 20020302

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004532838 T2 20041028 JP 2002-577773 20020302
 US 2003092732 A1 20030515 US 2002-90582 20020304
 US 6979691 B2 20051227
 US 2003096827 A1 20030522 US 2002-90288 20020304
 US 6713487 B2 20040330
 US 2004229882 A1 20041118 US 2003-696761 20031029
 US 2006025403 A1 20060202 US 2005-199464 20050808

PRAI US 2001-273206P P 20010302
 US 2001-273291P P 20010302
 WO 2002-US6581 W 20020302
 US 2002-90288 A3 20020304
 US 2002-90582 A3 20020304

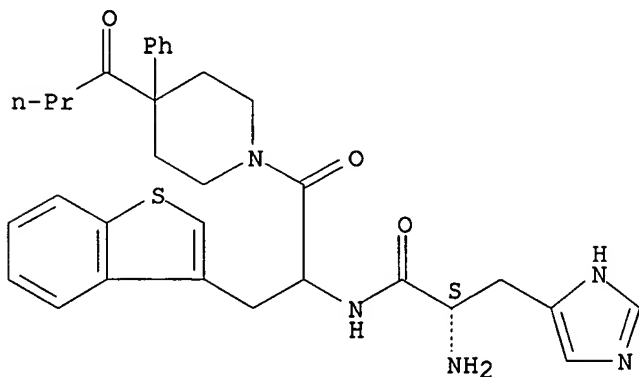
OS MARPAT 137:295252

IT **468105-41-1P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of peptides for pharmaceutical use as modulators of melanocortin receptors)

RN 468105-41-1 CAPLUS

CN 1H-Imidazole-4-propanamide, α -amino-N-[1-(benzo[b]thien-3-ylmethyl)-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (α S)- (9CI)
 (CA INDEX NAME)

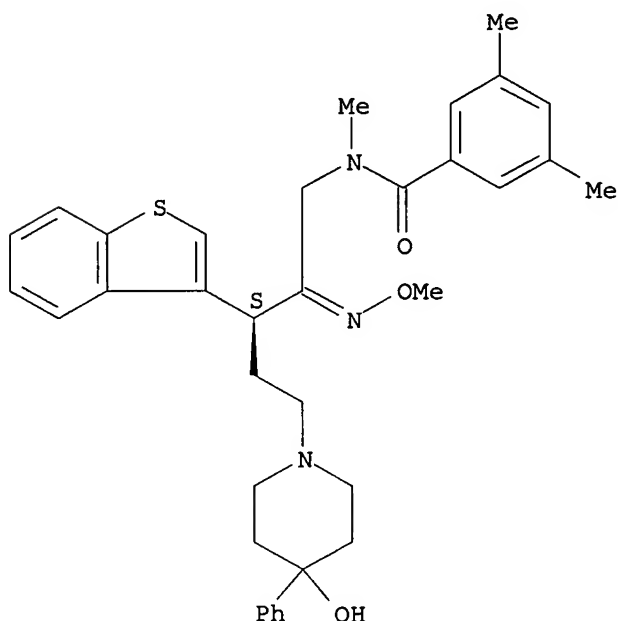
Absolute stereochemistry.



L10 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2002:706154 CAPLUS
 DN 138:378520
 TI A pharmacophore model for NK2 antagonist comprising compounds from several structurally diverse classes
 AU Poulsen, Anders; Liljefors, Tommy; Gundertofte, Klaus; Bjornholm, Berith
 CS Department of Medicinal Chemistry, The Royal Danish School of Pharmacy, Copenhagen, DK-2100, Den.
 SO Journal of Computer-Aided Molecular Design (2002), 16(4), 273-286

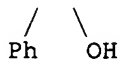
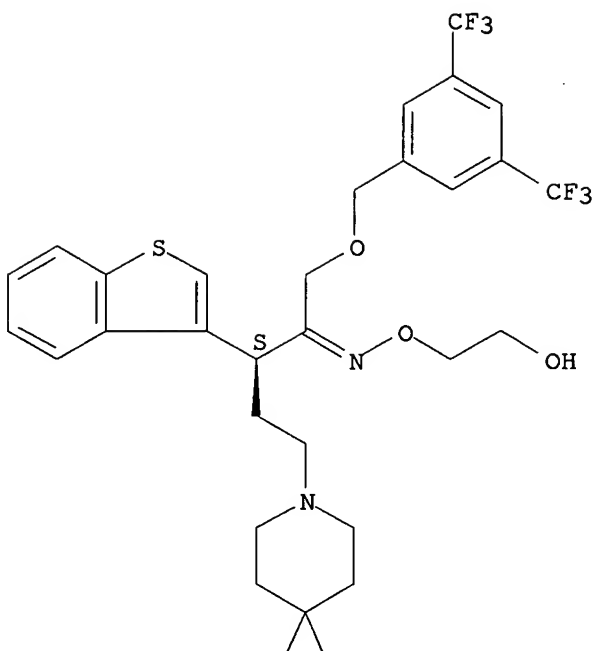
CODEN: JCADEQ; ISSN: 0920-654X
 PB Kluwer Academic Publishers
 DT Journal
 LA English
 IT **527679-24-9 527679-25-0**
 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmacophore model for NK2 antagonist)
 RN 527679-24-9 CAPLUS
 CN Benzamide, N-[(3S)-3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



RN 527679-25-0 CAPLUS
 CN 2-Pentanone, 3-benzo[b]thien-3-yl-1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-5-(4-hydroxy-4-phenyl-1-piperidinyl)-, O-(2-hydroxyethyl)oxime, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



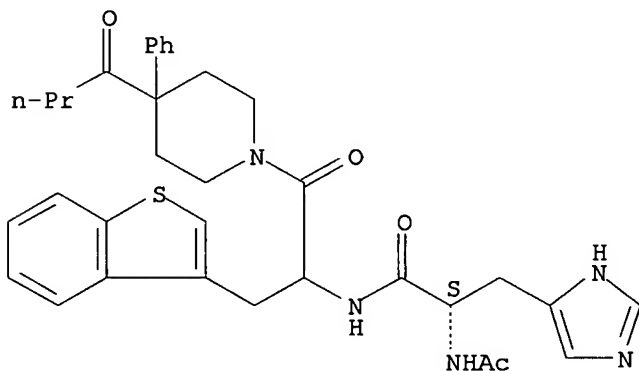
RE.CNT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:695975 CAPLUS
DN 137:232913
TI Preparation of peptides for pharmaceutical use as modulators of
melanocortin receptors
IN Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton,
George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.;
Thibault, Carl
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070511	A1	20020912	WO 2002-US6479	20020302
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,			

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2437594 AA 20020912 CA 2002-2437594 20020302
 EP 1363898 A1 20031126 EP 2002-723310 20020302
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2005511475 T2 20050428 JP 2002-569831 20020302
 US 2003092732 A1 20030515 US 2002-90582 20020304
 US 6979691 B2 20051227
 US 2003096827 A1 20030522 US 2002-90288 20020304
 US 6713487 B2 20040330
 US 2004229882 A1 20041118 US 2003-696761 20031029
 US 2006025403 A1 20060202 US 2005-199464 20050808
 PRAI US 2001-273206P P 20010302
 US 2001-273291P P 20010302
 WO 2002-US6479 W 20020302
 US 2002-90288 A3 20020304
 US 2002-90582 A3 20020304
 OS MARPAT 137:232913
 IT **457902-37-3P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of peptides for pharmaceutical use as modulators of
 melanocortin receptors)
 RN 457902-37-3 CAPLUS
 CN 1H-Imidazole-4-propanamide, α -(acetylamino)-N-[1-(benzo[b]thien-3-
 ylmethyl)-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-,
 (α S)- (9CI) (CA INDEX NAME)

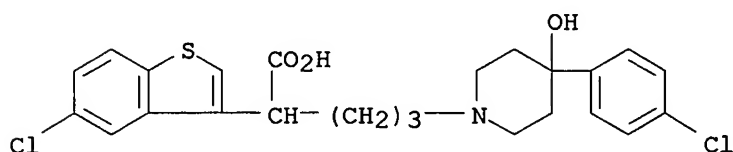
Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2002:375654 CAPLUS
 DN 137:310794
 TI Automated parallel solid-phase synthesis of non-peptide CCR1 receptor
 antagonists
 AU Buckman, Brad O.; Ghannam, Ameen; Li, Angela; Liang, Meina; Mohan, Raju;
 Ng, Howard P.
 CS Berlex Biosciences, Richmond, CA, 94804, USA
 SO Combinatorial Chemistry and High Throughput Screening (2002), 5(3),
 249-251
 CODEN: CCHSFU; ISSN: 1386-2073
 PB Bentham Science Publishers
 DT Journal

LA English
 OS CASREACT 137:310794
 IT **470701-34-9P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (automated parallel solid-phase synthesis of α -aryl-4-(4-chlorophenyl)-4-hydroxy-1-piperidinepentanoate derivs. (non-peptide CCR1 receptor antagonists))
 RN 470701-34-9 CAPLUS
 CN 1-Piperidinepentanoic acid, α -(5-chlorobenzo[b]thien-3-yl)-4-(4-chlorophenyl)-4-hydroxy- (9CI) (CA INDEX NAME)



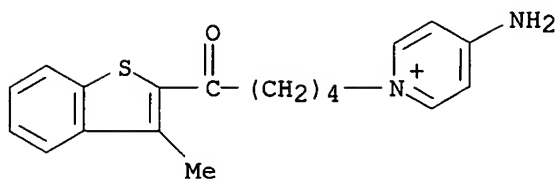
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2001:78379 CAPLUS
 DN 134:147492
 TI Benzothiophene derivatives useful as GluR6 antagonists for treatment of CNS disorders, and their preparation and pharmaceutical formulations
 IN Baker, Stephen Richard; Bleakman, David; Dominguez Fernandez, Carmen; Rubio Esteban, Almudena; Dominguez Manzanares, Esteban
 PA Eli Lilly and Company, USA
 SO PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007431	A2	20010201	WO 2000-US16334	20000718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI EP 1999-500123	A	19990721		

OS MARPAT 134:147492
 IT **323176-47-2P**, 2-[5-(4-Aminopyridinium)-1-oxopentyl]-3-methylbenzothiophene bromide **323176-50-7P**, 2-[4-(4-Aminopyridinium)-1-oxobutyl]-3-methylbenzothiophene bromide **323176-56-3P**, 2-[3-(4-Aminopyridinium)-1-oxopropyl]-3-methylbenzothiophene bromide
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of benzothiophene derivs. as GluR6 antagonists for treatment of CNS disorders)
 RN 323176-47-2 CAPLUS
 CN Pyridinium, 4-amino-1-[5-(3-methylbenzo[b]thien-2-yl)-5-oxopentyl]-,

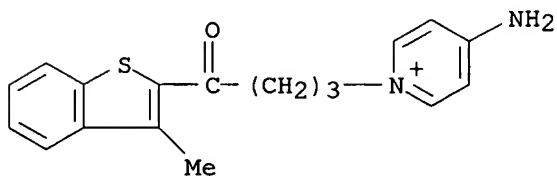
bromide (9CI) (CA INDEX NAME)



● Br⁻

RN 323176-50-7 CAPLUS

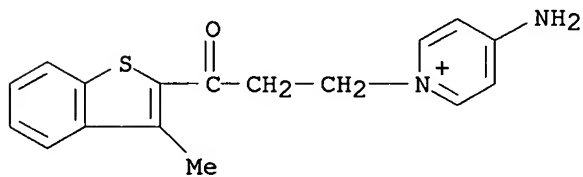
CN Pyridinium, 4-amino-1-[4-(3-methylbenzo[b]thien-2-yl)-4-oxobutyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

RN 323176-56-3 CAPLUS

CN Pyridinium, 4-amino-1-[3-(3-methylbenzo[b]thien-2-yl)-3-oxopropyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

L10 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:69923 CAPLUS

DN 130:153575

TI Preparation of 5-HT₄ agonist 4-amino-N-(piperidinylalkyl)benzamides and analogs as gastrointestinal drugs

IN Kawakita, Takeshi; Kuroita, Takanobu; Murozono, Takahiro; Hakira, Hidetoshi; Haga, Keiichiro; Ito, Katsuhiko; Sonda, Shuji; Kawahara, Toshio; Asano, Kiyoshi

PA Yoshitomi Pharmaceutical Industries, Ltd., Japan

SO U.S., 96 pp., Cont.-in-part of U.S. 5,802,887.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5864039	A	19990126	US 1997-982389	19971202
PRAI	JP 1994-60941	A	19940330		
	JP 1994-153686	A	19940705		
	JP 1995-7492	A	19950120		
	JP 1995-244040	A	19950922		
	JP 1996-77232	A	19960329		
	US 1996-716372	A2	19960919		
	JP 1997-68739	A	19970321		

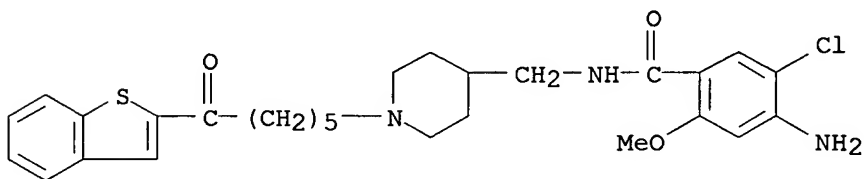
OS MARPAT 130:153575

IT **188971-95-1P 220206-55-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino(piperidinylalkyl)benzamides and analogs as 5-HT4 agonists)

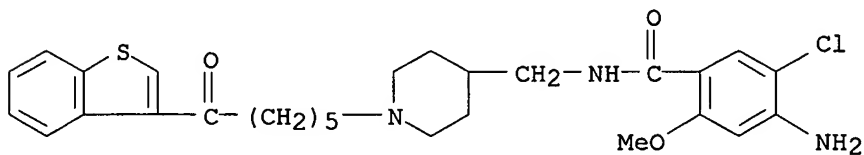
RN 188971-95-1 CAPLUS

CN Benzamide, 4-amino-N-[[1-(6-benzo[b]thien-2-yl-6-oxohexyl)-4-piperidinyl]methyl]-5-chloro-2-methoxy- (9CI) (CA INDEX NAME)



RN 220206-55-3 CAPLUS

CN Benzamide, 4-amino-N-[[1-(6-benzo[b]thien-3-yl-6-oxohexyl)-4-piperidinyl]methyl]-5-chloro-2-methoxy- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:752780 CAPLUS

DN 128:22809

TI Preparation of heteroarylketoximes and analogs as neurokinin antagonists

IN Shankar, Bandarpalle B.

PA Schering Corp., USA

SO U.S., 23 pp., Cont.-in-part of U.S. Ser. No. 641,384.

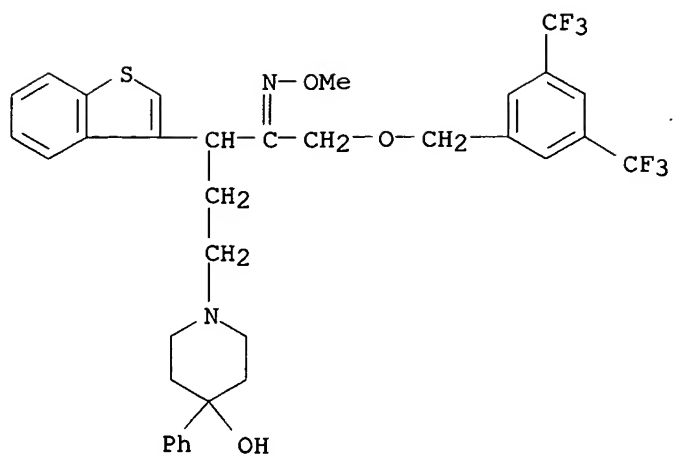
CODEN: USXXAM

DT Patent

LA English

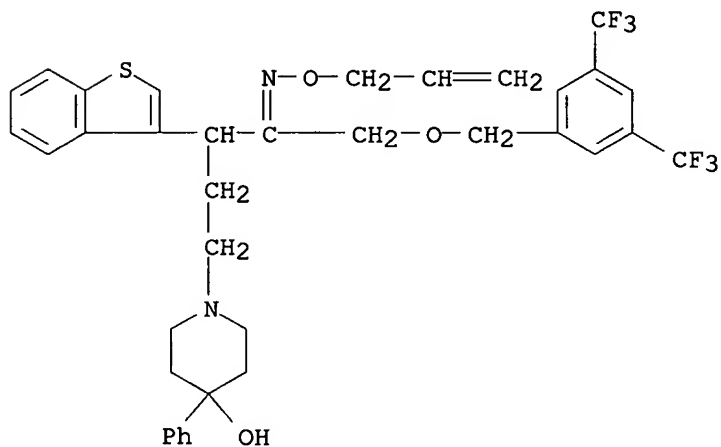
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5688960	A	19971118	US 1996-742013	19961031
	US 5696267	A	19971209	US 1996-641384	19960430
	CN 1189821	A	19980805	CN 1996-195172	19960501
	CN 1134413	B	20040114		
	WO 9818785	A1	19980507	WO 1997-US18985	19971028
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9749916	A1	19980522	AU 1997-49916	19971028
	AU 734309	B2	20010607		
	EP 937064	A1	19990825	EP 1997-912826	19971028
	EP 937064	B1	20021211		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
	JP 2000504341	T2	20000411	JP 1998-520559	19971028
	JP 3152440	B2	20010403		
	AT 229522	E	20021215	AT 1997-912826	19971028
	ES 2184070	T3	20030401	ES 1997-912826	19971028
	CA 2268847	C	20030527	CA 1997-2268847	19971028
	CA 2268847	AA	19980507		
	KR 2000052926	A	20000825	KR 1999-703796	19990429
PRAI	US 1995-432740	B2	19950502		
	US 1995-460819	B2	19950601		
	US 1996-641384	A2	19960430		
	US 1996-742013	A	19961031		
	WO 1997-US18985	W	19971028		
OS	MARPAT 128:22809				
IT	199459-22-8P 199459-23-9P 199459-24-0P				
	199459-25-1P 199459-26-2P 199459-27-3P				
	199459-28-4P 199459-29-5P 199459-30-8P				
	199459-31-9P 199459-32-0P 199459-33-1P				
	199459-34-2P 199459-35-3P 199459-36-4P				
	199459-37-5P 199459-38-6P 199459-39-7P				
	199459-40-0P 199459-41-1P 199459-42-2P				
	199459-43-3P 199459-44-4P 199459-45-5P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of heteroarylketoximes and analogs as neurokinin antagonists)				
RN	199459-22-8 CAPLUS				
CN	2-Pentanone, 3-benzo[b]thien-3-yl-1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-5-(4-hydroxy-4-phenyl-1-piperidinyl)-, O-methyloxime (9CI) (CA INDEX NAME)				



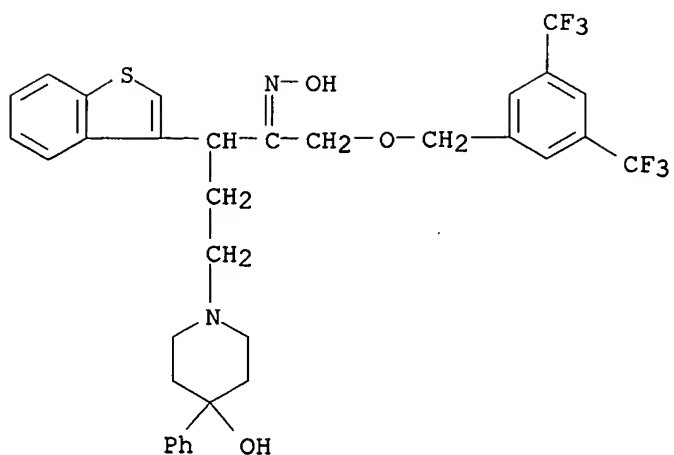
RN 199459-23-9 CAPLUS

CN 2-Pentanone, 3-benzo[b]thien-3-yl-1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-5-(4-hydroxy-4-phenyl-1-piperidinyl)-, O-2-propenyloxime (9CI) (CA INDEX NAME)



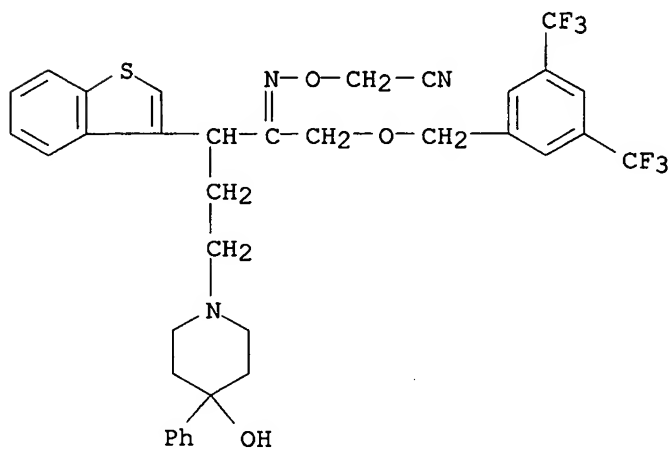
RN 199459-24-0 CAPLUS

CN 2-Pentanone, 3-benzo[b]thien-3-yl-1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-5-(4-hydroxy-4-phenyl-1-piperidinyl)-, oxime (9CI) (CA INDEX NAME)



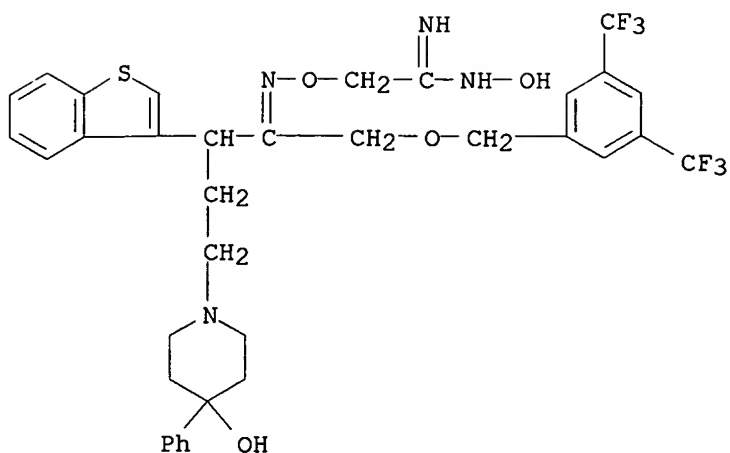
RN 199459-25-1 CAPLUS

CN Acetonitrile, [[[2-benzo[b]thien-3-yl-1-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methyl]-4-(4-hydroxy-4-phenyl-1-piperidinyl)butylidene]amino]oxy]- (9CI) (CA INDEX NAME)



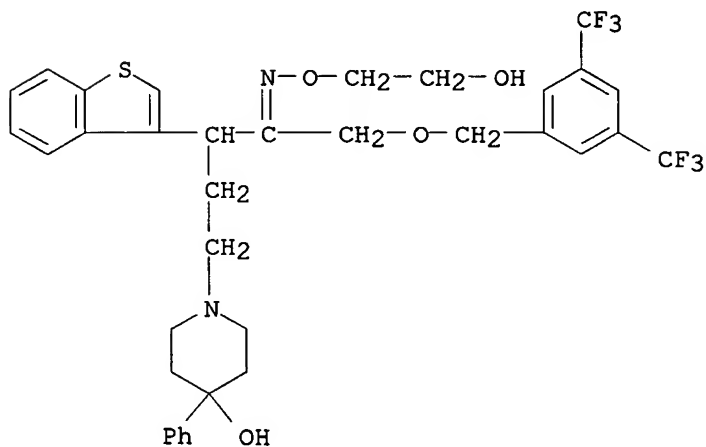
RN 199459-26-2 CAPLUS

CN Ethanimidamide, 2-[[[2-benzo[b]thien-3-yl-1-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methyl]-4-(4-hydroxy-4-phenyl-1-piperidinyl)butylidene]amino]oxy]-N-hydroxy- (9CI) (CA INDEX NAME)



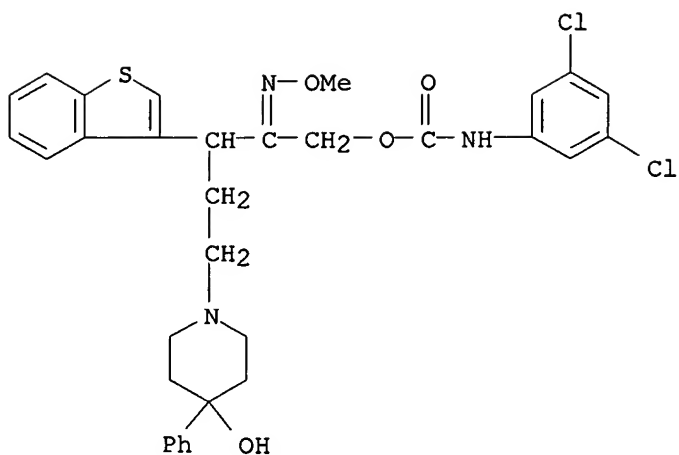
RN 199459-27-3 CAPLUS

CN 2-Pentanone, 3-benzo[b]thien-3-yl-1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-5-(4-hydroxy-4-phenyl-1-piperidinyl)-, O-(2-hydroxyethyl)oxime (9CI)
(CA INDEX NAME)



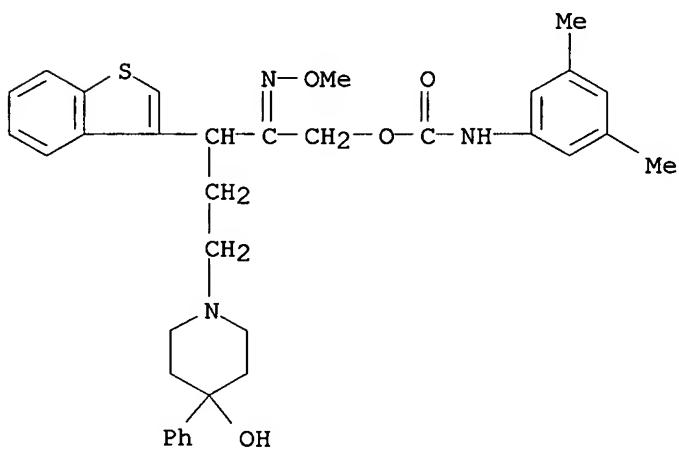
RN 199459-28-4 CAPLUS

CN Carbamic acid, (3,5-dichlorophenyl)-, 3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl ester (9CI) (CA INDEX NAME)



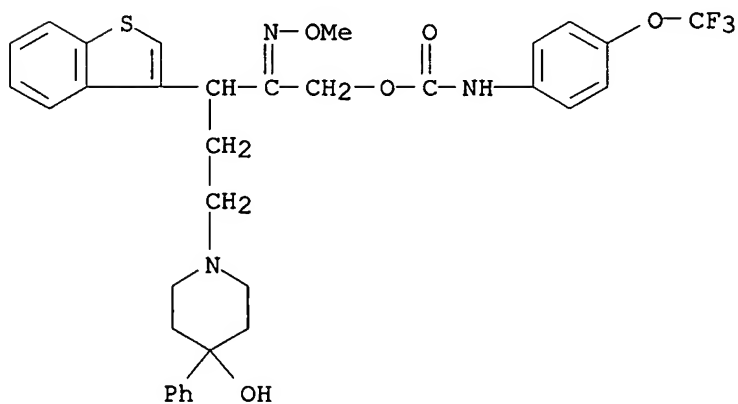
RN 199459-29-5 CAPLUS

CN Carbamic acid, (3,5-dimethylphenyl)-, 3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl ester (9CI) (CA INDEX NAME)



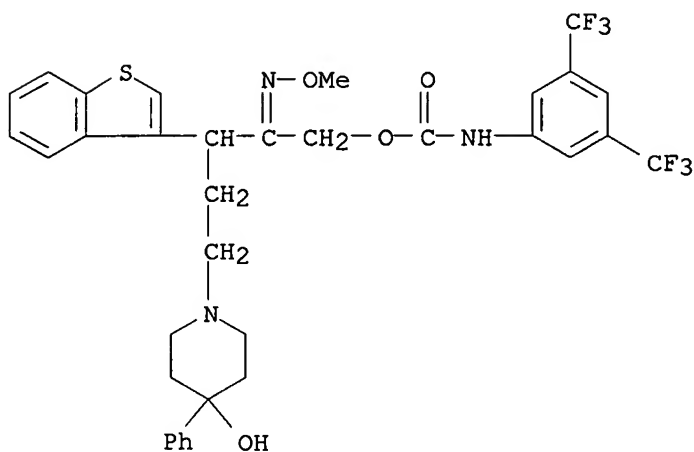
RN 199459-30-8 CAPLUS

CN Carbamic acid, [4-(trifluoromethoxy)phenyl]-, 3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl ester (9CI) (CA INDEX NAME)



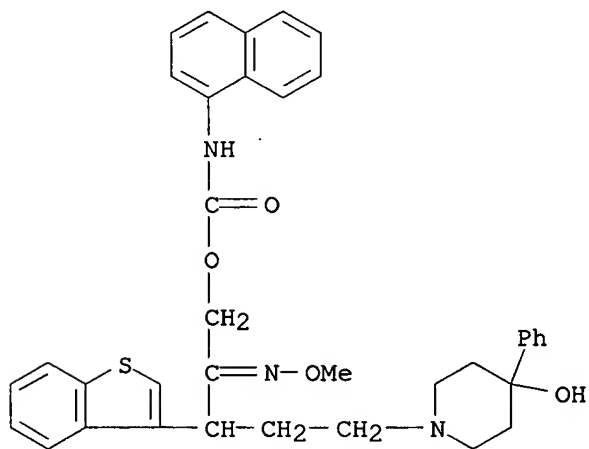
RN 199459-31-9 CAPLUS

CN Carbamic acid, [3,5-bis(trifluoromethyl)phenyl]-, 3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidiny)-2-(methoxyimino)pentyl ester (9CI) (CA INDEX NAME)



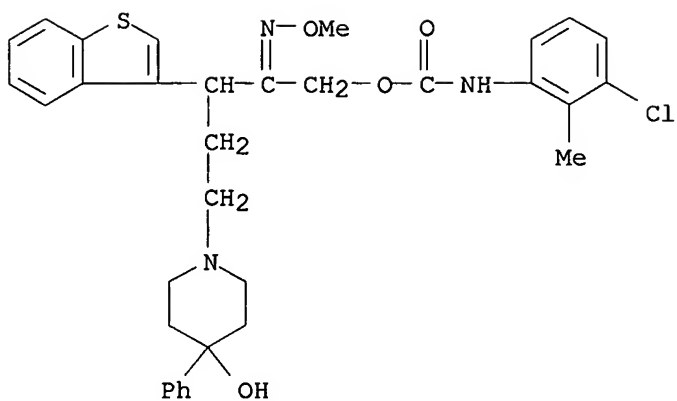
RN 199459-32-0 CAPLUS

CN Carbamic acid, 1-naphthalenyl-, 3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidiny)-2-(methoxyimino)pentyl ester (9CI) (CA INDEX NAME)



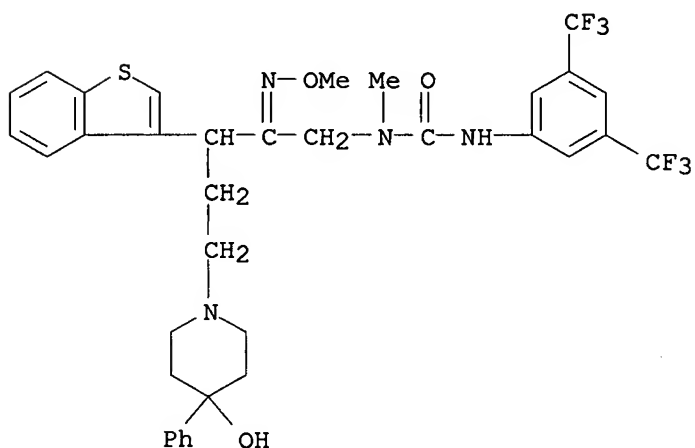
RN 199459-33-1 CAPLUS

CN Carbamic acid, (3-chloro-2-methylphenyl)-, 3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidiny)-2-(methoxyimino)pentyl ester (9CI) (CA INDEX NAME)



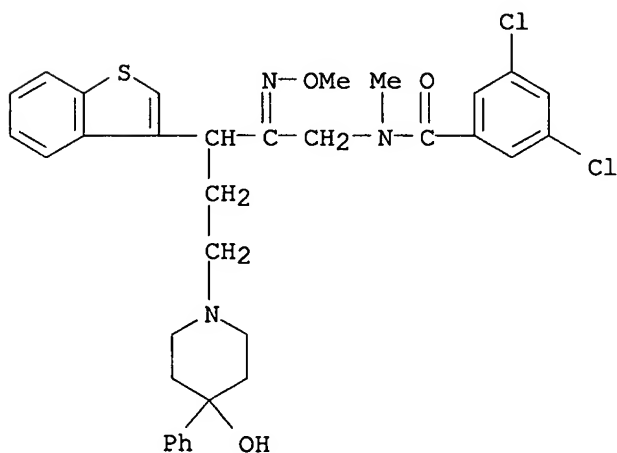
RN 199459-34-2 CAPLUS

CN Urea, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-N'-[3,5-bis(trifluoromethyl)phenyl]-N-methyl- (9CI)
(CA INDEX NAME)



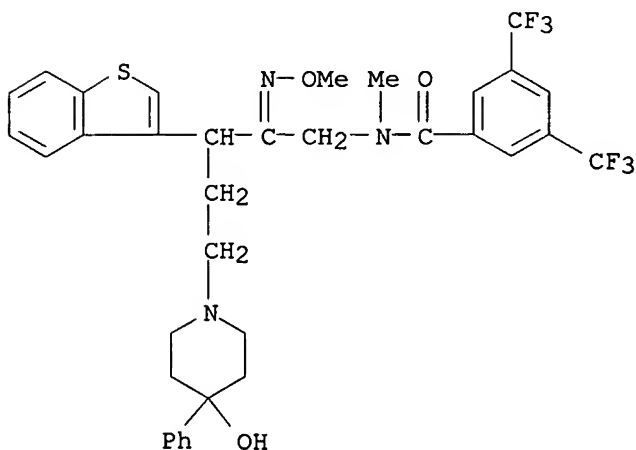
RN 199459-35-3 CAPLUS

CN Benzamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-3,5-dichloro-N-methyl- (9CI) (CA INDEX NAME)



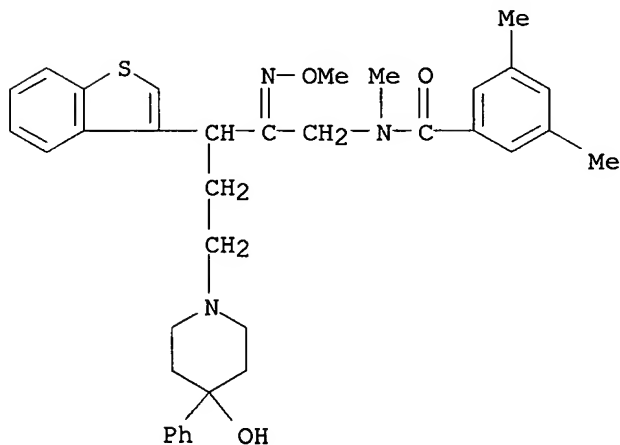
RN 199459-36-4 CAPLUS

CN Benzamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-N-methyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



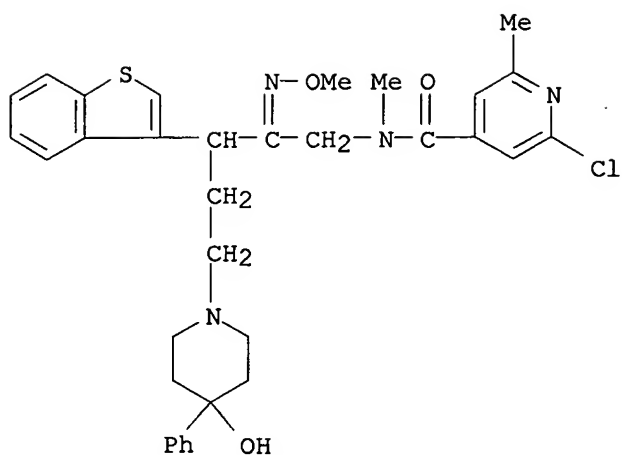
RN 199459-37-5 CAPLUS

CN Benzamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)



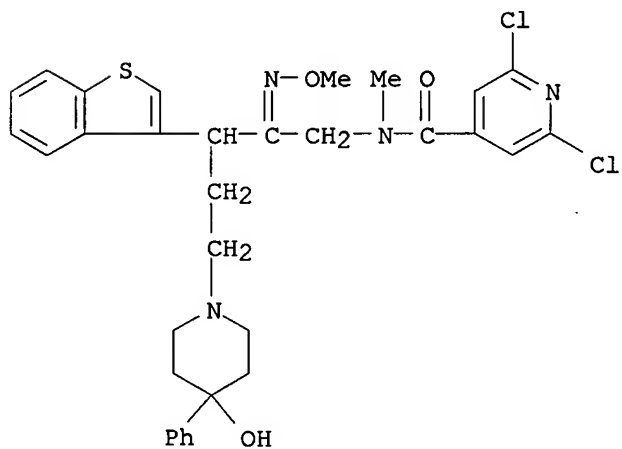
RN 199459-38-6 CAPLUS

CN 4-Pyridinecarboxamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-2-chloro-N,6-dimethyl- (9CI) (CA INDEX NAME)



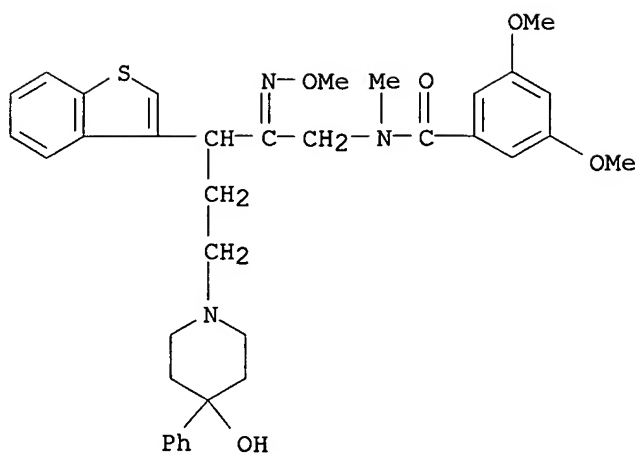
RN 199459-39-7 CAPLUS

CN 4-Pyridinecarboxamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-2,6-dichloro-N-methyl- (9CI) (CA INDEX NAME)



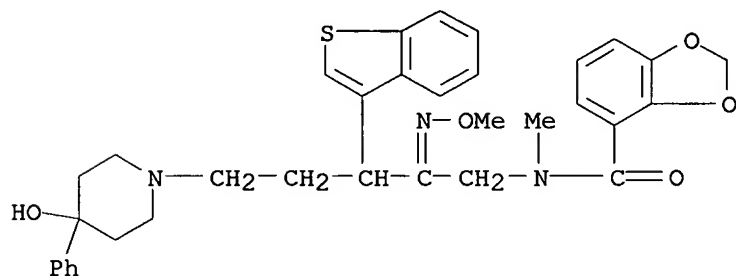
RN 199459-40-0 CAPLUS

CN Benzamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-3,5-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)



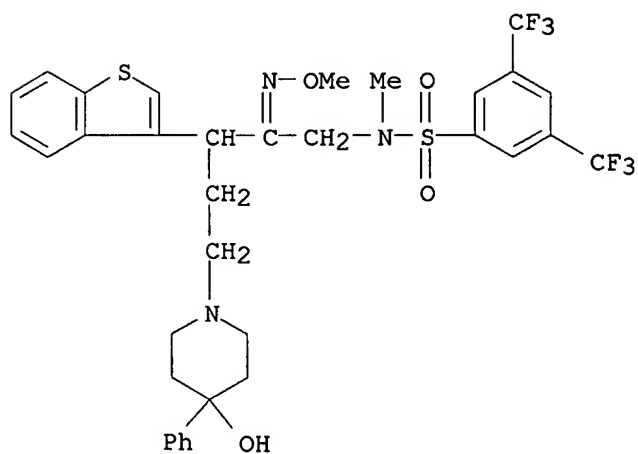
RN 199459-41-1 CAPLUS

CN 1,3-Benzodioxole-4-carboxamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 199459-42-2 CAPLUS

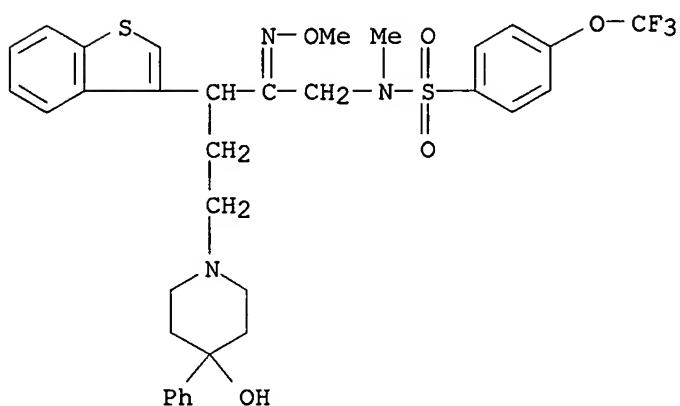
CN Benzenesulfonamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-N-methyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 199459-43-3 CAPLUS

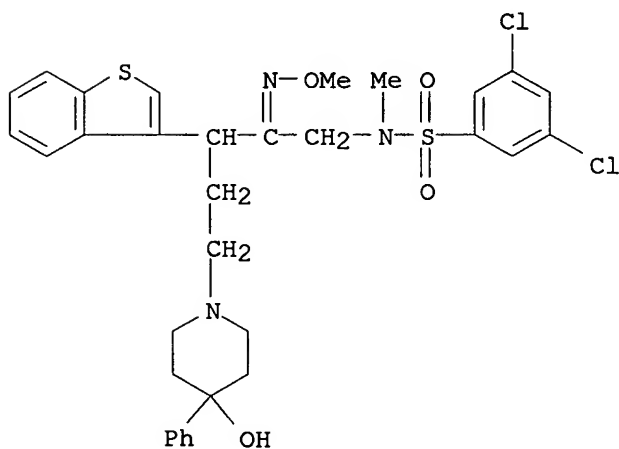
CN Benzenesulfonamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-

piperidinyl)-2-(methoxyimino)pentyl]-N-methyl-4-(trifluoromethoxy)- (9CI)
(CA INDEX NAME)



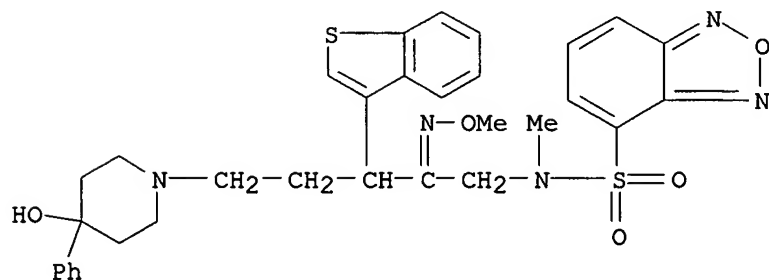
RN 199459-44-4 CAPLUS

CN Benzenesulfonamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-3,5-dichloro-N-methyl- (9CI) (CA INDEX NAME)



RN 199459-45-5 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-2-(methoxyimino)pentyl]-N-methyl- (9CI) (CA INDEX NAME)



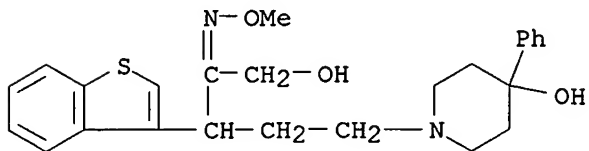
IT 199459-57-9P 199459-58-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteroarylketoximes and analogs as neurokinin antagonists)

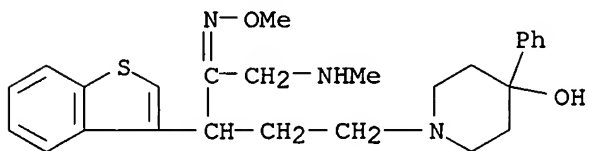
RN 199459-57-9 CAPLUS

CN 2-Pentanone, 3-benzo[b]thien-3-yl-1-hydroxy-5-(4-hydroxy-4-phenyl-1-piperidinyl)-, O-methyloxime (9CI) (CA INDEX NAME)



RN 199459-58-0 CAPLUS

CN 2-Pentanone, 3-benzo[b]thien-3-yl-5-(4-hydroxy-4-phenyl-1-piperidinyl)-1-(methylamino)-, O-methyloxime (9CI) (CA INDEX NAME)



L10 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:299659 CAPLUS

DN 126:277482

TI Preparation of benzoic acid compounds as drugs

IN Ito, Katsuhiko; Sonda, Shuji; Kawahara, Toshio; Asano, Kiyoshi; Kawakita, Takeshi

PA Yoshitomi Pharmaceutical Industries, Ltd., Japan

SO PCT Int. Appl., 176 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 4

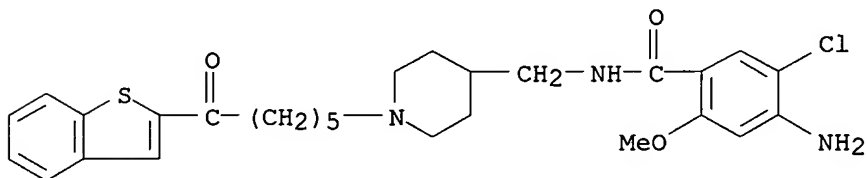
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PI	WO 9711054	A1	19970327	WO 1996-JP2711	19960920
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9670017	A1	19970409	AU 1996-70017	19960920
	EP 873990	A1	19981028	EP 1996-931265	19960920
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	JP 1995-244040	A	19950922		
	JP 1996-77232	A	19960329		
	WO 1996-JP2711	W	19960920		

OS MARPAT 126:277482

IT 188971-95-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

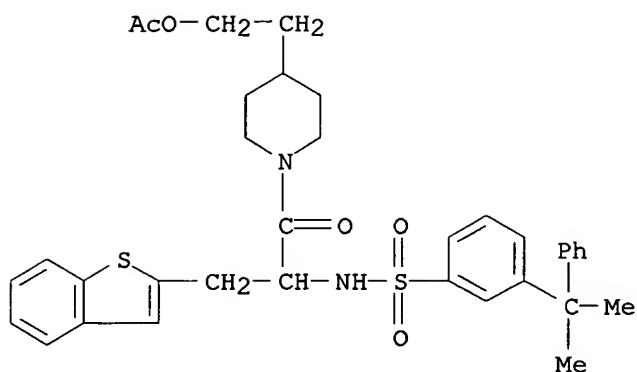
(preparation of benzoic acid compds. as drugs)
 RN 188971-95-1 CAPLUS
 CN Benzamide, 4-amino-N-[[1-(6-benzo[b]thien-2-yl-6-oxohexyl)-4-piperidinyl]methyl]-5-chloro-2-methoxy- (9CI) (CA INDEX NAME)



L10 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1996:746209 CAPLUS
 DN 126:19324
 TI Preparation of arylsulfonylamino acid amide trypsin and thrombin inhibitors.
 IN Hoyle, William; Howarth, Graham Arton; Brundish, Derek Edward; Kane, Peter Daniel; Walker, Clive Victor; Hayler, Judy; Fullerton, Joseph David; Smith, Garric Paul; Wathey, William Bernard; et al.
 PA Ciba-Geigy A.-G., Switz.
 SO PCT Int. Appl., 202 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

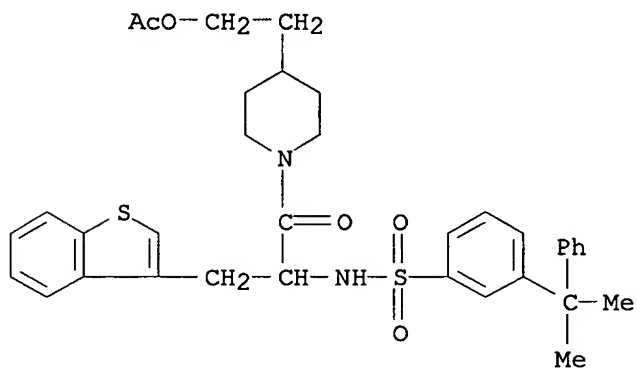
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9629327	A1	19960926	WO 1996-GB520	19960308
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9648872	A1	19961008	AU 1996-48872	19960308
	EP 815103	A1	19980107	EP 1996-904963	19960308
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	JP 11502219	T2	19990223	JP 1996-528155	19960308
	ZA 9602112	A	19960918	ZA 1996-2112	19960315
PRAI	GB 1995-5538	A	19950318		
	WO 1996-GB520	W	19960308		

OS MARPAT 126:19324
 IT **184040-11-7P 184040-13-9P 184040-15-1P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of arylsulfonylamino acid amide trypsin and thrombin inhibitors)
 RN 184040-11-7 CAPLUS
 CN 4-Piperidineethanol, 1-[3-benzo[b]thien-2-yl-2-[[[3-(1-methyl-1-phenylethyl)phenyl]sulfonyl]amino]-1-oxopropyl]-, acetate (ester) (9CI) (CA INDEX NAME)



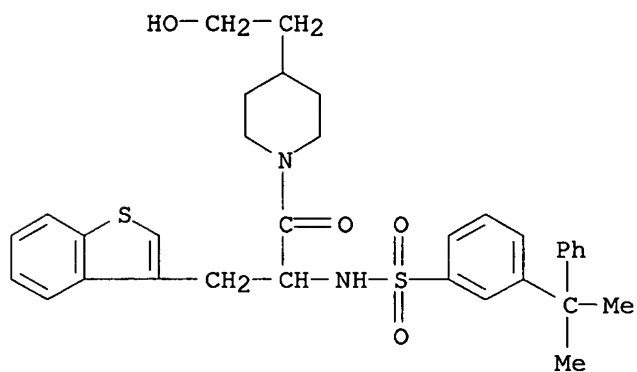
RN 184040-13-9 CAPLUS

CN 4-Piperidineethanol, 1-[3-benzo[b]thien-3-yl-2-[[[3-(1-methyl-1-phenylethyl)phenyl]sulfonyl]amino]-1-oxopropyl]-, acetate (ester) (9CI)
(CA INDEX NAME)



RN 184040-15-1 CAPLUS

CN 4-Piperidineethanol, 1-[3-benzo[b]thien-3-yl-2-[[[3-(1-methyl-1-phenylethyl)phenyl]sulfonyl]amino]-1-oxopropyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

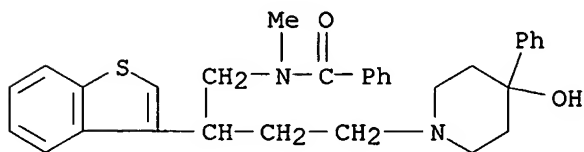
AN 1992:426590 CAPLUS

DN 117:26590

TI Piperidine- and piperazine-containing arylalkylamines, process for their preparation, and pharmaceutical compositions containing them as neurokinin

receptor antagonists.
 IN Emonds-Alt, Xavier; Goulaouic, Pierre; Proietto, Vincenzo; Van Broeck, Didier
 PA Sanofi SA, Fr.
 SO Eur. Pat. Appl., 54 pp.
 CODEN: EPXXDW
 DT Patent
 LA French
 FAN.CNT 1

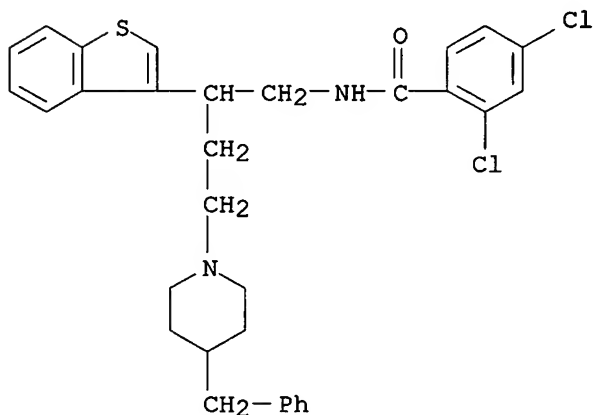
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PI	EP 474561	A1	19920311	EP 1991-402382	19910905
	EP 474561	B1	19981209		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FR 2666335	A1	19920306	FR 1990-11039	19900905
	FR 2666335	B1	19921211		
	FR 2678267	A1	19921231	FR 1991-7824	19910625
	FR 2678267	B1	19940204		
	IL 99320	A1	19950731	IL 1991-99320	19910827
	AU 9183542	A1	19920312	AU 1991-83542	19910903
	AU 657272	B2	19950309		
	BR 9103802	A	19920519	BR 1991-3802	19910903
	CA 2050639	AA	19920306	CA 1991-2050639	19910904
	CA 2050639	C	19971202		
	FI 9104174	A	19920306	FI 1991-4174	19910904
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	FI 98457	C	19970625		
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	NO 177226	B	19950502		
	NO 177226	C	19950809		
	HU 59098	A2	19920428	HU 1991-2863	19910904
	ZA 9107017	A	19921230	ZA 1991-7017	19910904
	PL 167994	B1	19951230	PL 1991-291618	19910904
	RU 2070196	C1	19961210	RU 1991-5001435	19910904
	JP 04261155	A2	19920917	JP 1991-254730	19910905
	US 5236921	A	19930817	US 1991-755454	19910905
	AT 174332	E	19981215	AT 1991-402382	19910905
	ES 2127722	T3	19990501	ES 1991-402382	19910905
	CZ 285994	B6	19991215	CZ 1991-2724	19910905
	LV 10606	B	19960420	LV 1993-139	19930225
	LT 3442	B	19951025	LT 1993-585	19930531
	US 5350852	A	19940927	US 1993-105677	19930813
	HK 1005290	A1	20000818	HK 1998-104394	19980521
PRAI	FR 1990-11039	A	19900905		
	FR 1991-7824	A	19910625		
	US 1991-755454	A3	19910905		
OS	MARPAT 117:26590				
IT	142001-37-4P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as neurokinin receptor antagonist)				
RN	142001-37-4 CAPLUS				
CN	Benzamide, N-[2-benzo[b]thien-3-yl-4-(4-hydroxy-4-phenyl-1-piperidinyl)butyl]-N-methyl- (9CI) (CA INDEX NAME)				



L10 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1991:679818 CAPLUS
 DN 115:279818
 TI Preparation of piperidine derivatives as neurokinin and substance P antagonists
 IN Emonds-Alt, Xavier; Goulaouic, Pierre; Proietto, Vincenzo; Van Broeck, Didier
 PA SANOFI, Fr.
 SO Eur. Pat. Appl., 84 pp.
 CODEN: EPXXDW
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 428434	A2	19910522	EP 1990-403125	19901106
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	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FR 2654100	A1	19910510	FR 1989-14517	19891106
	FR 2654100	B1	19920221		
	FR 2663329	A1	19911220	FR 1990-7534	19900615
	FR 2663329	B1	19921016		
	FI 97540	B	19960930	FI 1990-5444	19901102
	FI 97540	C	19970110		
	CA 2029275	AA	19910507	CA 1990-2029275	19901105
	NO 9004802	A	19910507	NO 1990-4802	19901105
	NO 177299	B	19950515		
	NO 177299	C	19950823		
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	AU 649973	B2	19940609		
	HU 56543	A2	19910930	HU 1990-7027	19901105
	US 5317020	A	19940531	US 1990-610093	19901105
	IL 111292	A1	19960331	IL 1990-111292	19901105
	RU 2084453	C1	19970720	RU 1990-4831627	19901105
	RU 2114828	C1	19980710	RU 1993-45020	19901105
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	JP 03206086	A2	19910909	JP 1990-300929	19901106
	PL 165758	B1	19950228	PL 1990-293823	19901106
	PL 165854	B1	19950228	PL 1990-293824	19901106
	PL 166565	B1	19950630	PL 1990-287644	19901106
	PL 166582	B1	19950630	PL 1990-303827	19901106
	IL 96241	A1	19960331	IL 1990-96241	19901115
	LV 10713	B	19951020	LV 1993-142	19930225
	US 5686609	A	19971111	US 1994-208672	19940311
	AU 9459245	A1	19940602	AU 1994-59245	19940331
	AU 668018	B2	19960418		
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	NO 180193	B	19961125		
	NO 180193	C	19970305		
	NO 9500240	A	19910507	NO 1995-240	19950123
	NO 179580	B	19960729		
	NO 179580	C	19961106		
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	FI 9502957	A	19950615	FI 1995-2957	19950615
	FI 9800227	A	19980202	FI 1998-227	19980202
PRAI	FR 1989-14517	A	19891106		
	FR 1990-7534	A	19900615		
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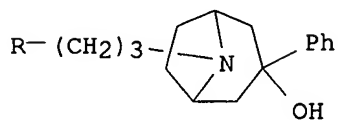
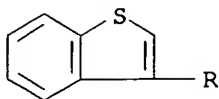
IL 1990-96241 A3 19901115
 US 1994-208672 A3 19940311
 FI 1995-2956 A 19950615
 OS MARPAT 115:279818
 IT **135934-91-7P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as neurokinin antagonist)
 RN 135934-91-7 CAPLUS
 CN Benzamide, N-[2-benzo[b]thien-3-yl-4-[4-(phenylmethyl)-1-piperidinyl]butyl]-2,4-dichloro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

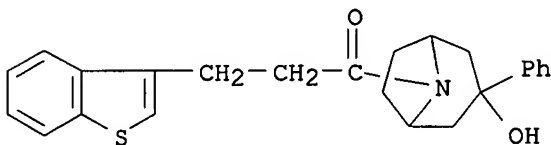
L10 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1972:434374 CAPLUS
 DN 77:34374
 TI Neuroleptically active 3-phenyl-8-benzofuranylalkyl derivatives of nortropine and nortropidine
 IN Kaiser, Carl; Zirkle, Charles L.
 PA Smith Kline and French Laboratories
 SO U.S., 6 pp. Division of U.S. 3,546,232 (CA 74;125407x).
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3657252	A	19720418	US 1970-62771	19700717
PRAI	US 1970-62771	A	19700717		
IT	31517-23-4P 31616-75-8P				
RL:	SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	31517-23-4	CAPLUS			
CN	1αH,5αH-Nortropan-3α-ol, 8-(3-benzo[b]thien-3-ylpropyl)-3-phenyl-, hydrochloride (8CI) (CA INDEX NAME)				



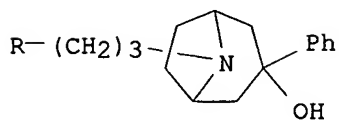
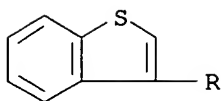
● HCl

RN 31616-75-8 CAPLUS
 CN 1αH,5αH-Nortropan-3α-ol, 8-(3-benzo[b]thien-3-ylpropionyl)-3-phenyl- (8CI) (CA INDEX NAME)



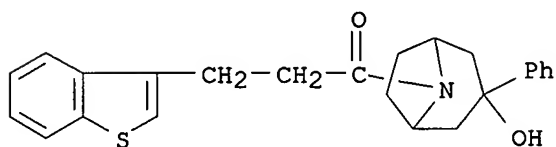
L10 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1971:125407 CAPLUS
 DN 74:125407
 TI 3-Phenyl-8-thianaphthenylalkyl or -8-benzofuranylalkyl derivatives of nortropine and nortropidine having useful pharmacodynamic activity
 IN Kaiser, Carl; Zirkle, Charles L.
 PA Smith Kline and French Laboratories
 SO U.S., 5 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3546232	A	19701208	US 1968-770799	19681025
PRAI	US 1968-770799	A	19681025		
IT	31517-23-4P 31616-75-8P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	31517-23-4 CAPLUS				
CN	1αH,5αH-Nortropan-3α-ol, 8-(3-benzo[b]thien-3-ylpropyl)-3-phenyl-, hydrochloride (8CI) (CA INDEX NAME)				



● HCl

RN 31616-75-8 CAPLUS
 CN 1αH,5αH-Nortropan-3α-ol, 8-(3-benzo[b]thien-3-ylpropionyl)-3-phenyl- (8CI) (CA INDEX NAME)



L10 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1969:68179 CAPLUS
 DN 70:68179
 TI Substituted piperidinoalkylthianaphthenes and benzofurans
 IN Kaiser, Carl; Zirkle, Charles L.
 PA Smith Kline and French Laboratories
 SO S. African, 32 pp.
 CODEN: SFXXAB
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 6800682		19680627		
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	GB 1176091			GB	
	GB 1176092			GB	
	GB 1176093			GB	
	US 3476760		19691104	US	19670922
	US 3547931		19701215	US	19691010
	US 3549931		19701222	US	19681204
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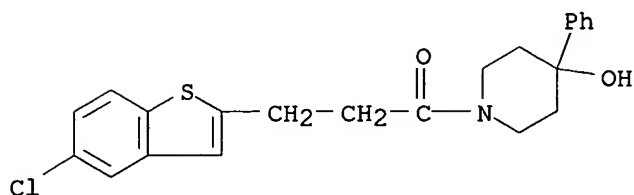
PRAI US 19670306
 US 19670922
 IT 21683-76-1P 21683-77-2P 21683-78-3P
 21683-79-4P 21683-80-7P 21683-83-0P
 21683-92-1P 21683-93-2P 21683-94-3P
 21683-95-4P 21683-97-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

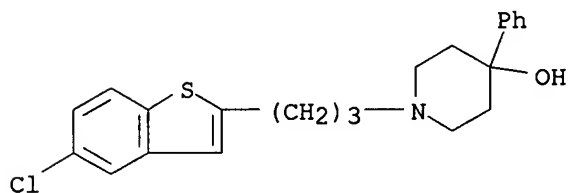
RN 21683-76-1 CAPLUS

CN 4-Piperidinol, 1-[3-(5-chlorobenzo[b]thien-2-yl)propionyl]-4-phenyl- (8CI)
(CA INDEX NAME)



RN 21683-77-2 CAPLUS

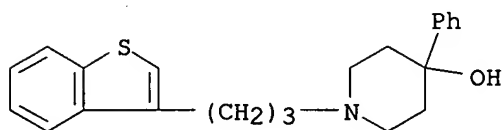
CN 4-Piperidinol, 1-[3-(5-chlorobenzo[b]thien-2-yl)propyl]-4-phenyl-,
hydrochloride (8CI) (CA INDEX NAME)



● HCl

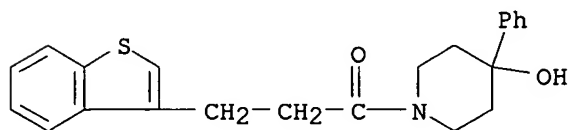
RN 21683-78-3 CAPLUS

CN 4-Piperidinol, 1-(3-benzo[b]thien-3-ylpropyl)-4-phenyl- (8CI) (CA INDEX
NAME)



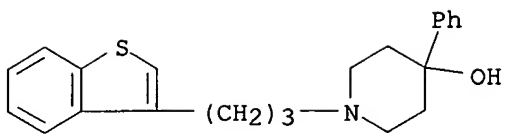
RN 21683-79-4 CAPLUS

CN 4-Piperidinol, 1-(3-benzo[b]thien-3-ylpropionyl)-4-phenyl- (8CI) (CA
INDEX NAME)



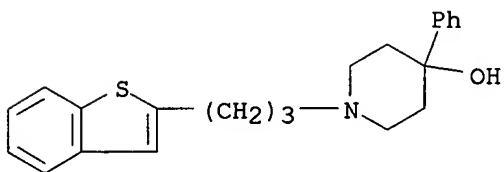
RN 21683-80-7 CAPLUS

CN 4-Piperidinol, 1-(3-benzo[b]thien-3-ylpropyl)-4-phenyl-, hydrochloride
(8CI) (CA INDEX NAME)



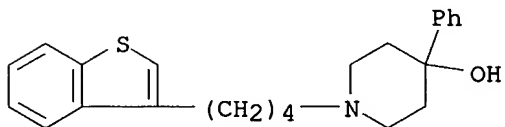
● HCl

RN 21683-83-0 CAPLUS
 CN 4-Piperidinol, 1-(3-benzo[b]thien-2-ylpropyl)-4-phenyl-, hydrochloride
 (8CI) (CA INDEX NAME)

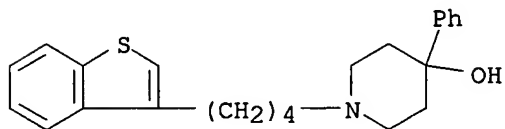


● HCl

RN 21683-92-1 CAPLUS
 CN 4-Piperidinol, 1-(4-benzo[b]thien-3-ylbutyl)-4-phenyl-, hydrochloride
 (8CI) (CA INDEX NAME)

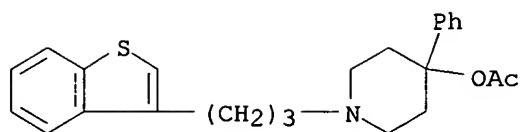


RN 21683-93-2 CAPLUS
 CN 4-Piperidinol, 1-(3-benzo[b]thien-3-ylpropyl)-4-phenyl-, hydrochloride
 (8CI) (CA INDEX NAME)



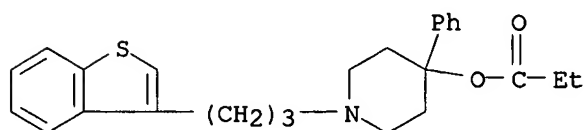
● HCl

RN 21683-94-3 CAPLUS
 CN 4-Piperidinol, 1-(3-benzo[b]thien-3-ylpropyl)-4-phenyl-, acetate (ester),
 hydrochloride (8CI) (CA INDEX NAME)



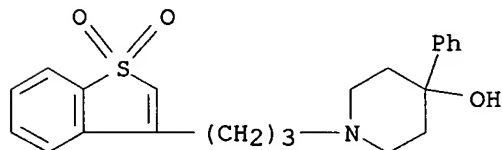
● HCl

RN 21683-95-4 CAPLUS
 CN 4-Piperidinol, 1-(3-benzo[b]thien-3-ylpropyl)-4-phenyl-, propionate
 (ester), hydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 21683-97-6 CAPLUS
 CN 4-Piperidinol, 1-(3-benzo[b]thien-3-ylpropyl)-4-phenyl-, hydrochloride,
 S,S-dioxide (8CI) (CA INDEX NAME)

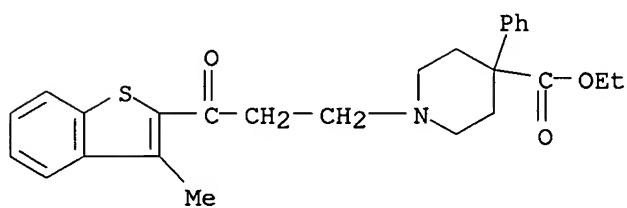


● HCl

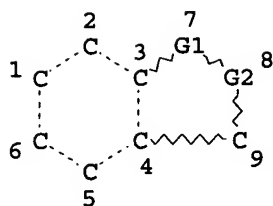
L10 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1960:44741 CAPLUS
 DN 54:44741
 OREF 54:8855i,8856a-i,8857a-b
 TI 4-Carbalkoxy-4-phenylpiperidine derivatives
 PA Laboratoria Pharmaceutica Dr. C. Janssen N. V.; N. V. Nederlandse
 Combinatie voor Chemische Industrie
 DT Patent
 LA Unavailable
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 561320		19571031	BE	
IT	124118-29-2, Isonipecotic acid, 1-[2-(3-methylbenzo[b]thien-2-ylcarbonyl)ethyl]-4-phenyl-, ethyl ester, hydrochloride (preparation of)				
RN	124118-29-2 CAPLUS				

CN Isonipecotic acid, 1-[2-(3-methylbenzo[b]thien-2-ylcarbonyl)ethyl]-4-phenyl-, ethyl ester, hydrochloride (6CI) (CA INDEX NAME)



● HCl



Ak~N
10 11

VAR G1=O/S/N
VAR G2=N/C
ENTER (DIS), GRA, NOD, BON OR ?:end
L1 STRUCTURE CREATED

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SAMPLE SCREEN SEARCH COMPLETED - 177805 TO ITERATE

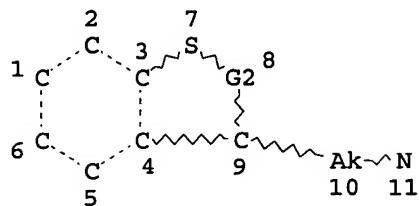
1.1% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

50 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 3531327 TO 3580873
PROJECTED ANSWERS: 389836 TO 406730

L2 50 SEA SSS SAM L1

=> d 16
 L6 HAS NO ANSWERS
 L6 STR



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 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

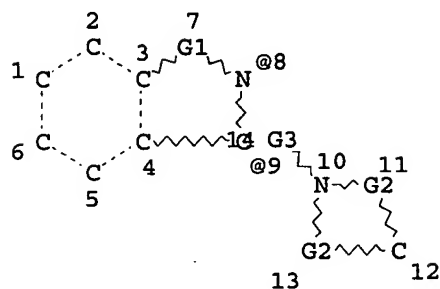
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100.0% PROCESSED 312240 ITERATIONS
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1569 ANSWERS

L8 1569 SEA SSS FUL L6

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L8 STR
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REP G2=(1-3) CH
VAR G3=8/9
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 14
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STEREO ATTRIBUTES: NONE
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ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):17
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 17:04:01 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 999 TO ITERATE
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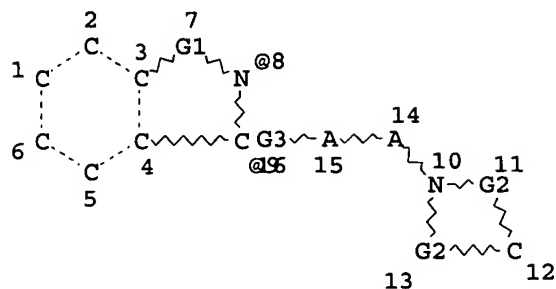
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366 ANSWERS

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L10 4437 L7 NOT L9
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L11 HAS NO ANSWERS
L11 STR
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VAR G1=O/S
REP G2=(1-3) CH
VAR G3=8/9
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RSPEC 9 10
NUMBER OF NODES IS 16
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STEREO ATTRIBUTES: NONE
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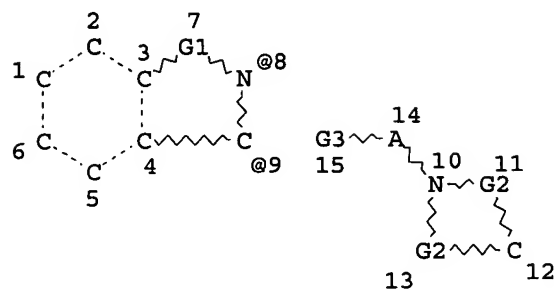
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ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l10
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 17:06:56 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 4437 TO ITERATE
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SEARCH TIME: 00.00.01
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134 ANSWERS

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L13 STR
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VAR G1=O/S
REP G2=(1-3) CH
VAR G3=8/9
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RSPEC 9 10
NUMBER OF NODES IS 15
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STEREO ATTRIBUTES: NONE
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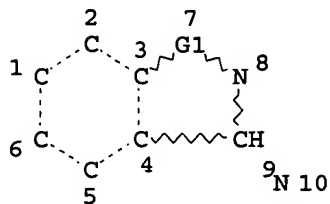
```
=> search l13
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l10
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 17:08:05 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 4437 TO ITERATE
```

```
100.0% PROCESSED 4437 ITERATIONS 185 ANSWERS
SEARCH TIME: 00.00.01
```

```
L14 185 SEA SUB=L10 SSS FUL L13
```

```
=> s l12 or l14
L15 317 L12 OR L14
```

=> d l18
 L18 HAS NO ANSWERS
 L18 STR



VAR G1=O/S
 NODE ATTRIBUTES:
 NSPEC IS R AT 10
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC 9
 NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

=> search l18
 ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
 ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
 ENTER SUBSET L# OR (END):l15
 ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
 FULL SUBSET SEARCH INITIATED 17:10:07 FILE 'REGISTRY'
 FULL SUBSET SCREEN SEARCH COMPLETED - 317 TO ITERATE

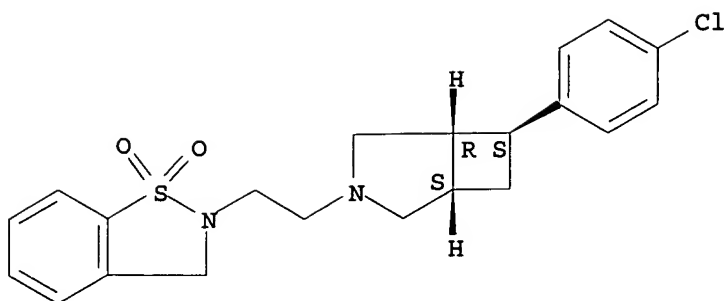
100.0% PROCESSED 317 ITERATIONS 8 ANSWERS
 SEARCH TIME: 00.00.01

L19 8 SEA SUB=L15 SSS FUL L18

=> d 1-8

L19 ANSWER 1 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 257870-76-1 REGISTRY
 ED Entered STN: 02 Mar 2000
 CN 3-Azabicyclo[3.2.0]heptane, 6-(4-chlorophenyl)-3-[2-(1,1-dioxido-1,2-benzisothiazol-2(3H)-yl)ethyl]-, (1S,5R,6S)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C21 H23 Cl N2 O2 S
 CI COM
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry. Rotation (+).

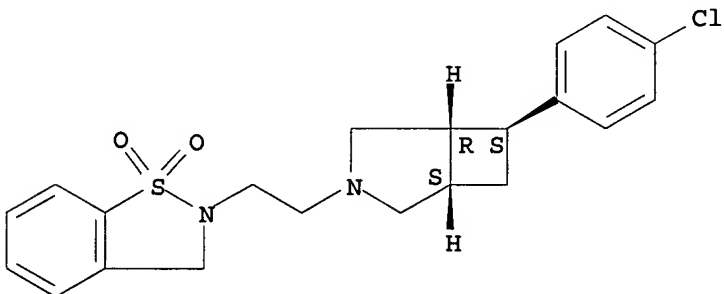


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L19 ANSWER 2 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 257870-74-9 REGISTRY
ED Entered STN: 02 Mar 2000
CN 3-Azabicyclo[3.2.0]heptane, 6-(4-chlorophenyl)-3-[2-(1,1-dioxido-1,2-benzisothiazol-2(3H)-yl)ethyl]-, monohydrochloride, (1S,5R,6S)-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H23 Cl N2 O2 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL
CRN (257870-76-1)

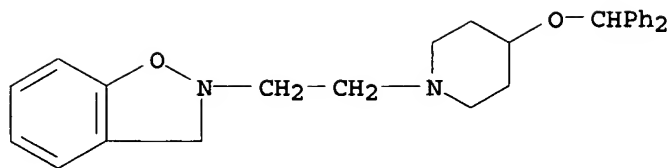
Absolute stereochemistry. Rotation (+).



● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L19 ANSWER 3 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 183867-29-0 REGISTRY
ED Entered STN: 11 Dec 1996
CN 1,2-Benzisoxazole, 2-[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN S 12370
FS 3D CONCORD
MF C27 H30 N2 O2
SR CA
LC STN Files: BIOSIS, CA, CAPLUS



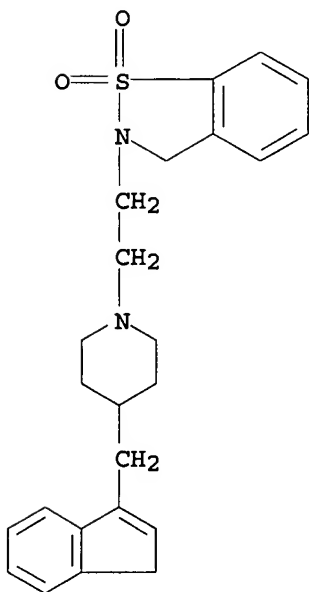
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L19 ANSWER 4 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 148953-93-9 REGISTRY
ED Entered STN: 28 Jul 1993
CN 1,2-Benzisothiazole, 2,3-dihydro-2-[2-[4-(1H-inden-3-ylmethyl)-1-piperidinyl]ethyl]-, 1,1-dioxide, ethanedioate (1:1) (9CI) (CA INDEX NAME)
MF C24 H28 N2 O2 S . C2 H2 O4
SR CA
LC STN Files: CA, CAPLUS

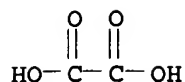
CM 1

CRN 148287-20-1
CMF C24 H28 N2 O2 S



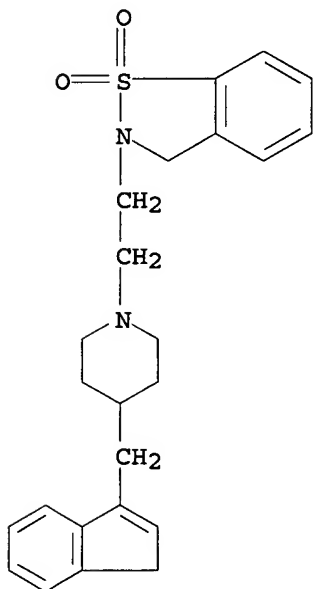
CM 2

CRN 144-62-7
CMF C2 H2 O4



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

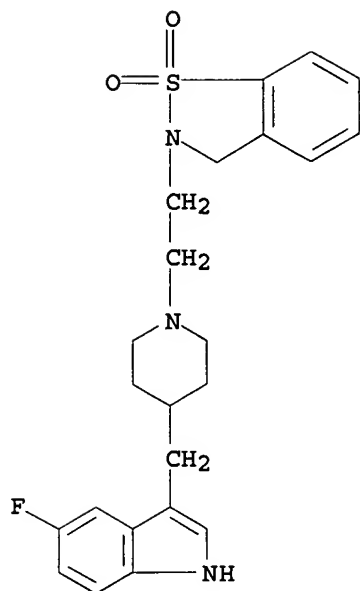
L19 ANSWER 5 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 148287-20-1 REGISTRY
ED Entered STN: 24 Jun 1993
CN 1,2-Benzisothiazole, 2,3-dihydro-2-[2-[4-(1H-inden-3-ylmethyl)-1-piperidinyl]ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H28 N2 O2 S
CI COM
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

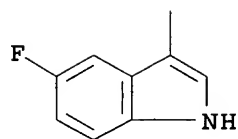
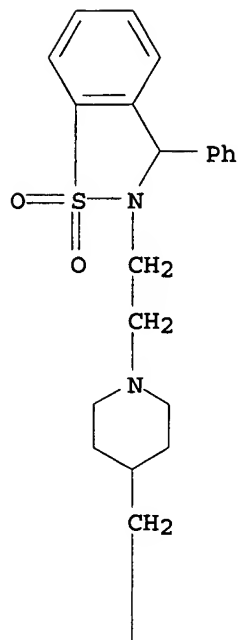
L19 ANSWER 6 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 148287-19-8 REGISTRY
ED Entered STN: 24 Jun 1993
CN 1,2-Benzisothiazole, 2-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H26 F N3 O2 S
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L19 ANSWER 7 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 136702-16-4 REGISTRY
ED Entered STN: 11 Oct 1991
CN 1,2-Benzisothiazole, 2-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-3-phenyl-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)
MF C29 H30 F N3 O2 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
CRN (136701-51-4)

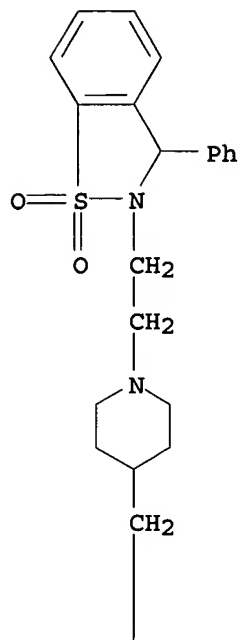


● HCl

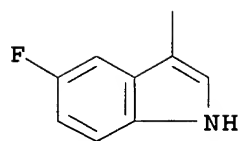
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L19 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 136701-51-4 REGISTRY
ED Entered STN: 11 Oct 1991
CN 1,2-Benzisothiazole, 2-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-3-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H30 F N3 O2 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

PAGE 1-A



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

AN 1987:63009 CAPLUS
 DN 106:63009
 TI Fungicidal agents based on 3-(hetero)arylpropylamines
 IN Tammer, Thomas; Sachse, Burkhard; Hartz, Peter
 PA Hoechst A.-G., Fed. Rep. Ger.
 SO Eur. Pat. Appl., 47 pp.
 CODEN: EPXXDW

DT Patent
 LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 193875	A2	19860910	EP 1986-102556	19860227
	R: AT, CH, DE, FR, GB, IT, LI				
	ZA 8601710	A	19861029	ZA 1986-1710	19850307
	DE 3508398	A1	19861106	DE 1985-3508398	19850308
	HU 42464	A2	19870728	HU 1986-932	19860306
	ES 552702	A1	19871116	ES 1986-552702	19860306
	AU 8654424	A1	19860911	AU 1986-54424	19860307
PRAI	DE 1985-3508398	A	19850308		

OS CASREACT 106:63009; MARPAT 106:63009

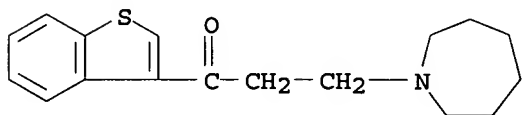
AB RXCHR1CHR2NR3R4 [R = substituted Ph, naphthyl, indanyl, etc.; R1 = H, Cl, Br, substituted alkyl; R2 = H, Cl, Br, alkyl, substituted Ph, thienyl, furyl; R3, R4 = H, substituted alkyl, 2,2,6,6-tetramethylpiperidin-4-yl, alkenyl, alkynyl, cycloalkyl; NR3R4 = substituted 1,2,3,4-tetrahydroisoquinolinyl, 8-aza-1,4-dioxaspiro[4,5]decyl, etc.; X = CO, CH(OR5), C:NOR5, C:NNHCSNH2, C:NNHCONH2, substituted dioxolanyl; R5 = H, alkyl, alkenyl, alkynyl, etc.], are prepared as fungicides. Thus, 13.0 g ω-chloro-4-phenoxypropiofenone was treated with 5.0 g hexamethylenimine in acetone at room temperature for 3 h to give 15.8 g 3-(hexahydro-1H-azepin-1-yl)-4'-phenoxypropiofenone HCl (I). I, applied at 120 mg, totally prevented artificial Plasmopara viticola infection in grape in pots expts.

IT 61-46-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as fungicides)

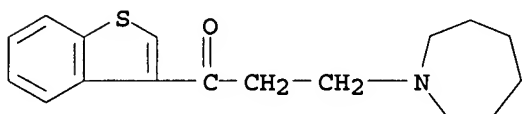
RN 61-46-1 CAPLUS

CN 1-Propanone, 1-benzo[b]thien-3-yl-3-(hexahydro-1H-azepin-1-yl)-, hydrochloride (7CI, 8CI, 9CI) (CA INDEX NAME)



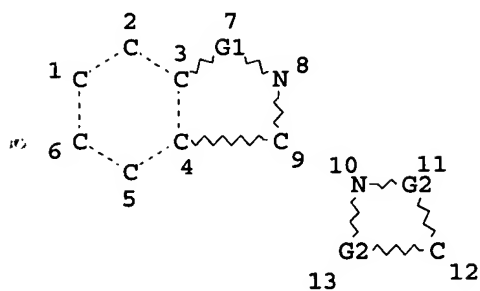
● HCl

AN 1965:38572 CAPLUS
 DN 62:38572
 OREF 62:6829f-h
 TI Benzo[b]thiophene derivatives. V. Mannich bases with antimicrobial activity
 AU Campaigne, E.; Weinberg, E. D.; Carlson, G.; Neiss, E. S.
 CS Indiana Univ., Bloomington
 SO Journal of Medicinal Chemistry (1965), 8(1), 136-7
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 AB cf. CA59, 15244e. 1-(Benzo[b]thien-3-yl)-3-(dimethylamino)-1-propanone-HCl (I) and 1-(benzo[b]thien-3-yl)-3-(diethylamino)-1-propanone-HCl (II) were prepared in isoamyl alc. from chromatographically pure 3-acetylbenzo[b]thiophene. 1-(Benzo[b]thien-3-yl)-3-(dibenzylamino)-1-propanone-HCl (III), 1-(benzo[b]thien-3-yl)-3-(1-pyrrolidinyl)-1-propanone-HCl (IV), 1-(benzo[b]thien-3-yl)-3-piperidino-1-propanone-HCl (V), 1-(benzo[b]thien-3-yl)-3-morpholino-1-propanone-HCl (VI), 1-(benzo[b]thien-3-yl)-3-(hexahydro-1H-azepin-1-yl)-1-propanone-HCl (VII), and 3-(3-azabicyclo[3.2.2]-non-3-yl)-1-(benzo[b]thien-3-yl)-1-propanone-HCl (VIII) were prepared from chromatographically pure 3-acetylbenzo[b]thiophene, the resp. amine, and HCHO in absolute EtOH. The min. inhibitory concentration in nutrient agar or glucose yeast infusion agar inoculated with microbial species was determined VI, VII, and VIII inhibited the growth of *Saccharomyces cerevisiae* at 10-33 γ /ml. VI at 10-33 γ /ml. and VII and IV at 33-100 γ /ml. inhibited the growth of *Escherichia coli*, and VI at 3.3-10 γ /ml., I, II, VIII, and VII at 10-33 γ /ml., and IV and V at 33-100 γ /ml. inhibited the growth of *Staphylococcus aureus*. VI, the most active compound, demonstrated no germicidal activity at low concns. nor was its antimicrobial activity inactivated by lecithin.
 IT 61-46-1, 1-Propanone, 1-benzo[b]thien-3-yl-3-(hexahydro-1H-azepin-1-yl)-, hydrochloride
 (preparation and antimicrobial activity of)
 RN 61-46-1 CAPLUS
 CN 1-Propanone, 1-benzo[b]thien-3-yl-3-(hexahydro-1H-azepin-1-yl)-, hydrochloride (7CI, 8CI, 9CI) (CA INDEX NAME)



● HCl

L5 HAS NO ANSWERS
L5 STR



VAR G1=O/S
REP G2=(1-3) CH
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 9 10
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

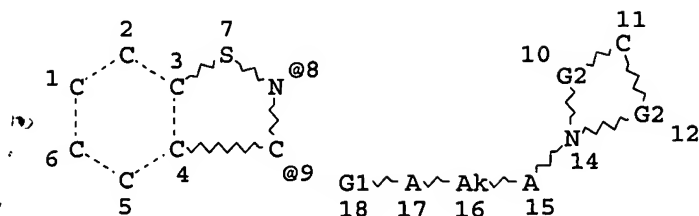
=> s 15 ful
FULL SEARCH INITIATED 17:02:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 40679 TO ITERATE

100.0% PROCESSED 40679 ITERATIONS
SEARCH TIME:. 00.00.01

4803 ANSWERS

L7 4803 SEA SSS FUL L5

```
=> d l48
L48 HAS NO ANSWERS
L48 STR
```



```
VAR G1=8/9
REP G2=(1-3) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
```

```
GRAPH ATTRIBUTES:
RSPEC 9
NUMBER OF NODES IS 17
```

```
STEREO ATTRIBUTES: NONE
```

```
=> s l48
SAMPLE SEARCH INITIATED 17:43:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1581 TO ITERATE
```

```
100.0% PROCESSED 1581 ITERATIONS 26 ANSWERS
SEARCH TIME: 00.00.01
```

```
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH **COMPLETE**
PROJECTED ITERATIONS: 29235 TO 34005
PROJECTED ANSWERS: 215 TO 825
```

```
L49 26 SEA SSS SAM L48
```

```
=> s l48 fu
COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by
structure-building or screen commands and text search terms. L#s
created via the STRUCTURE or SCREEN commands must be searched in the
structures files separately from text terms or profiles. The L#
answer sets from structure searches can be used in crossover searches
and can be combined with text terms.
```

```
=> s l48 ful
FULL SEARCH INITIATED 17:43:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 31646 TO ITERATE
```

```
100.0% PROCESSED 31646 ITERATIONS 455 ANSWERS
SEARCH TIME: 00.00.01
```

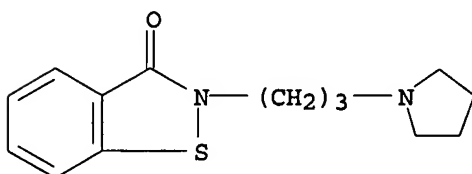
```
L50 455 SEA SSS FUL L48
```

=> s 150 and diox?
1675093 DIOX?
L52 398 L50 AND DIOX?

=> s 150 not 152
L53 57 L50 NOT L52

=> d scan

L53 57 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 1,2-Benzisothiazolin-3-one, 2-[3-(1-pyrrolidinyl)propyl]-, hydrochloride
(7CI)
MF C14 H18 N2 O S . Cl H



● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

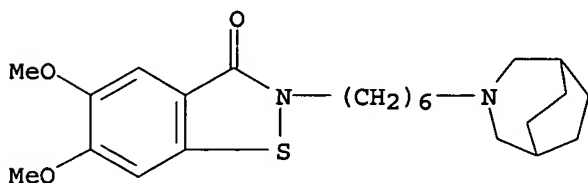
=> s 153 and ?one?
LEFT TRUNCATION IGNORED FOR FILE 'REGISTRY'
23349448 ONE?

L54 22 L53 AND ?ONE?
Left truncation is not valid in the specified search field in the specified file. The term has been searched without left truncation. Examples: '?TERPEN?' would be searched as 'TERPEN?' and '?FLAVONOID' would be searched as 'FLAVONOID.'

If you are searching in a field that uses implied proximity, and you used a truncation symbol after a punctuation mark, the system may interpret the truncation symbol as being at the beginning of a term. Implied proximity is used in search fields indexed as single words, for example, the Basic Index.

=> d scan

L54 22 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 1,2-Benzisothiazol-3(2H)-one, 2-[6-(3-azabicyclo[3.2.2]non-3-yl)hexyl]-5,6-dimethoxy- (9CI)
MF C23 H34 N2 O3 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 153 not 154

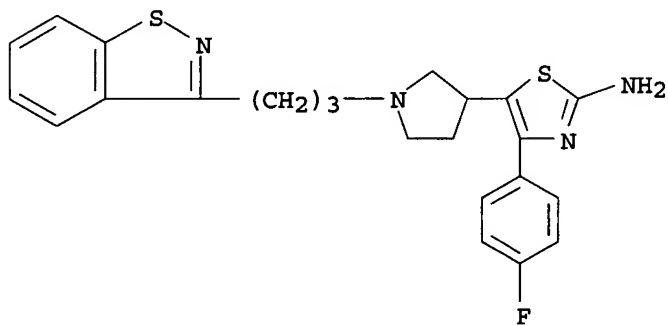
L55 35 L53 NOT L54

=> d scan

L55 35 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

IN 2-Thiazolamine, 5-[1-[3-(1,2-benzisothiazol-3-yl)propyl]-3-pyrrolidinyl]-4-(4-fluorophenyl)- (9CI)

MF C23 H23 F N4 S2



=> s 155

L56 13 L55

=> d bib abs hitstr 1-13

L56 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:857403 CAPLUS

DN 141:325765

TI Bispiperidino compounds as muscarinic M1 receptor agonists for chronic neuropathic pain

IN Davis, Robert R.; Vanover, Kimberly; Rodriguez, Mario

PA Acadia Pharmaceuticals Inc., USA

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004087158	A2	20041014	WO 2004-US9339	20040326
	WO 2004087158	A3	20050331		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2004226430	A1	20041014	AU 2004-226430	20040326
	CA 2520125	AA	20041014	CA 2004-2520125	20040326
	US 2005130961	A1	20050616	US 2004-809975	20040326
	EP 1613321	A2	20060111	EP 2004-758412	20040326
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
	BR 2004009523	A	20060418	BR 2004-9523	20040326
PRAI	US 2003-459045P	P	20030328		
	WO 2004-US9339	W	20040326		

AB The invention discloses compds. and methods for treating chronic neuropathic pain using compds. which selectively interact with the muscarinic M1 receptor subtype. Compds. of the invention include bispiperidino derivs.; the synthesis of selected compds. is detailed.

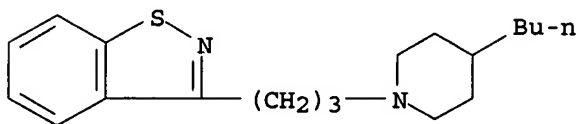
IT 372197-81-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

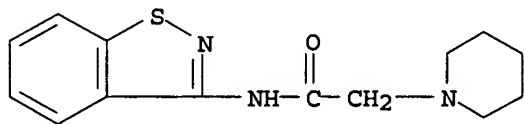
(bispiperidino compds. as M1 receptor agonists for chronic neuropathic pain)

RN 372197-81-4 CAPLUS

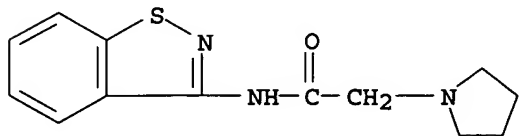
CN 1,2-Benzisothiazole, 3-[3-(4-butyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



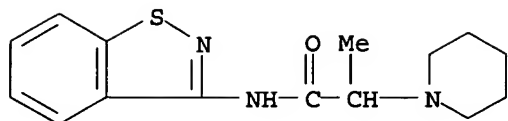
L56 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2003:909000 CAPLUS
 DN 140:350012
 TI Study of local anesthetic activity of some derivatives of
 3-amino-BENZO-[d]-Isothiazole
 AU Geronikaki, A.; Vicini, P.; Theophilidis, G.; Lagunin, A.; Poroikov, V.;
 Dearden, J. C.
 CS Sch. Pharm., Dep. Pharm. Chem., Aristotelian Univ., Thessalonika, 54124,
 Greece
 SO SAR and QSAR in Environmental Research (2003), 14(5-6), 485-495
 CODEN: SQERED; ISSN: 1062-936X
 PB Taylor & Francis Ltd.
 DT Journal
 LA English
 AB On the basis of computer prediction of biol. activity by PASS and toxicity
 by DEREK, the most prospective 18 alkylaminoacyl derivs. of
 3-amino-benzo-[d]-isothiazole were selected. Their local anesthetic
 action was assessed using an in vitro preparation of the isolated peroneal
 nerve of the frog. The local anesthetics action of the compds. was
 assessed according to the time required for each compound to reduce the
 amplitude of the evoked compound action potential (CAP). Lidocaine was used
 as the control compound. The results show that the tested compds. can be
 divided into three groups: (a) compds. with action similar to lidocaine,
 (b) compds. with action lower than lidocaine and (c) compds. which block
 completely the evoked CAP, but after the compound was removed and replaced
 with normal saline showed no recovery of the potential at all. QSAR
 studies showed that polarizability, polarity and presence of five-membered
 rings in mols. have a pos. influence on local anesthetic activity, while
 contributions of aromatic CH and singly bonded nitrogen are neg. Since
 estns. from PASS probabilities to find local anesthetic activity in the
 most active compds. were less than 50%, these compds. may be considered as
 new chemical entities (NCEs).
 IT 682340-74-5 682340-75-6 682340-81-4
 682340-82-5
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (local anesthetic activity of some derivs. of 3-amino-BENZO-[d]-
 Isothiazole)
 RN 682340-74-5 CAPLUS
 CN 1-Piperidineacetamide, N-1,2-benzisothiazol-3-yl- (9CI) (CA INDEX NAME)



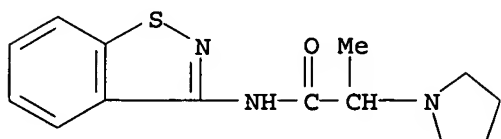
RN 682340-75-6 CAPLUS
 CN 1-Pyrrolidineacetamide, N-1,2-benzisothiazol-3-yl- (9CI) (CA INDEX NAME)



RN 682340-81-4 CAPLUS
 CN 1-Piperidineacetamide, N-1,2-benzisothiazol-3-yl- α -methyl- (9CI)
 (CA INDEX NAME)



RN 682340-82-5 CAPLUS
 CN 1-Pyrrolidineacetamide, N-1,2-benzisothiazol-3-yl- α -methyl- (9CI)
 (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

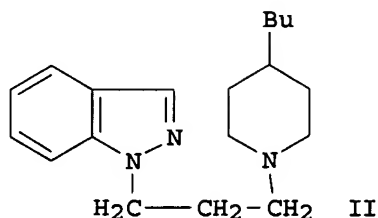
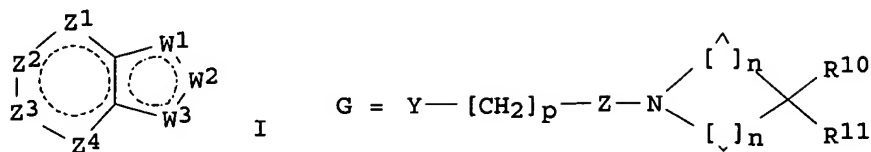
L56 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2001:816656 CAPLUS
 DN 135:357932
 TI Preparation of heterocyclic pharmaceutical compositions as muscarinic agonists
 IN Andersson, Carl-magnus A.; Friberg, Bo Lennart M.; Skjaerbaek, Niels; Spalding, Tracy; Uldam, Allan K.
 PA Acadia Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 84 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001083472	A1	20011108	WO 2001-US13561	20010427
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407594	AA	20011108	CA 2001-2407594	20010427
US 2002037886	A1	20020328	US 2001-844685	20010427
US 6627645	B2	20030930		
EP 1278741	A1	20030129	EP 2001-932682	20010427
EP 1278741	B1	20050302		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010420	A	20030701	BR 2001-10420	20010427
JP 2003531901	T2	20031028	JP 2001-580900	20010427
NZ 521978	A	20041029	NZ 2001-521978	20010427
AT 290000	E	20050315	AT 2001-932682	20010427
EP 1535912	A1	20050601	EP 2005-2771	20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
ES 2238437	T3	20050901	ES 2001-1932682	20010427
RU 2269523	C2	20060210	RU 2002-131937	20010427
ZA 2002008504	A	20040122	ZA 2002-8504	20021021
NO 2002005115	A	20021219	NO 2002-5115	20021024

	US 2005113357	A1	20050526	US 2003-623119	20030717
PRAI	US 2000-200791P	P	20000428		
	EP 2001-932682	A3	20010427		
	US 2001-844685	A3	20010427		
	WO 2001-US13561	W	20010427		
OS	MARPAT 135:357932				
GI					



AB Heterocyclic pharmaceutical compns. I (Z1-Z4 = N or carbon substituted with H, NH₂, OH, halo, alkyl, alkenyl, heteroalkyl, haloalkyl, CN, CF₃, etc. and no more than two of Z1-Z4 = N; W1 = O, S, N; W2 and W3 = N or CR₆ or CG where R₆ = H, alkyl, CHO, cycloalkyl, (un)substituted aryl; Y = O, S, CHOH, NHC(O), C(O)NH, C(O), OC(O), (O)CO, CH=N or absent; p = 1-5; Z (un)substituted carbon or absent; n = 1-3; R₁₀ = R₁₁ = H, straight/branched (un)substituted alkyl, alkenyl, alkynyl, alkylidene, alkoxy, alkylthio, etc.) or pharmaceutically acceptable salt, ester or prodrug were prepared for treating disease conditions where modification of cholinergic, especially muscarinic M₁, M₄, or both M₁ and M₄, receptor activity has a beneficial effect. Thus 35AKU-21 (II) was prepared from 4-butylpiperidine and 1-(3-bromopropyl)-1H-indazole and tested for ocular hypotensive effect in glaucomatous monkeys and had a -29.2% IOP change in 6 h. Data is provided for the screening of test compds. I demonstrating the selective agonist activity using muscarinic receptor subtypes M₁, M₂, M₃, M₄ and M₅.

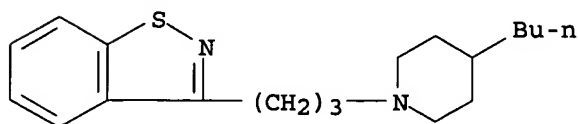
IT 372197-81-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclic pharmaceutical compns. with agonist activity at the M₁/M₄ muscarinic receptors)

RN 372197-81-4 CAPLUS

CN 1,2-Benzisothiazole, 3-[3-(4-butyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



IT 372197-83-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic pharmaceutical compns. with agonist activity at the M1/M4 muscarinic receptors)

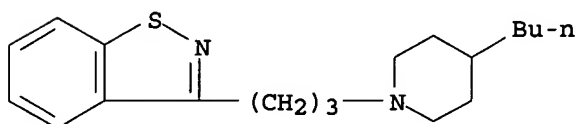
RN 372197-83-6 CAPLUS

CN 1,2-Benzisothiazole, 3-[3-(4-butyl-1-piperidinyl)propyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 372197-81-4

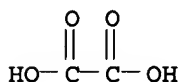
CMF C19 H28 N2 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:241232 CAPLUS

DN 132:265187

TI Preparation of heteroannelated piperidines as α 2-adrenoceptor antagonists

IN Kennis, Ludo Edmond Josephine; Van Den Keybus, Frans Maria Alfons; Mertens, Josephus Carolus

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

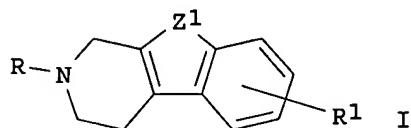
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000020421	A2	20000413	WO 1999-EP7419	19991001
	WO 2000020421	A3	20000803		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2345622	AA	20000413	CA 1999-2345622	19991001
	AU 9963341	A1	20000426	AU 1999-63341	19991001

AU 760502	B2	20030515		
BR 9913507	A	20010605	BR 1999-13507	19991001
EP 1119571	A2	20010801	EP 1999-950627	19991001
EP 1119571	B1	20030219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100952	T2	20011022	TR 2001-200100952	19991001
EE 200100209	A	20020617	EE 2001-209	19991001
JP 2002526545	T2	20020820	JP 2000-574533	19991001
NZ 510115	A	20021126	NZ 1999-510115	19991001
AT 232869	E	20030315	AT 1999-950627	19991001
PT 1119571	T	20030630	PT 1999-950627	19991001
ES 2193751	T3	20031101	ES 1999-950627	19991001
CN 1131230	B	20031217	CN 1999-811682	19991001
RU 2230744	C2	20040620	RU 2001-111812	19991001
BG 105332	A	20011130	BG 2001-105332	20010312
NO 2001001270	A	20010313	NO 2001-1270	20010313
US 6495555	B1	20021217	US 2001-806547	20010330
HK 1038010	A1	20030523	HK 2001-108631	20011210
PRAI EP 1998-203370	A	19981006		
WO 1999-EP7419	W	19991001		
OS MARPAT 132:265187				
GI				



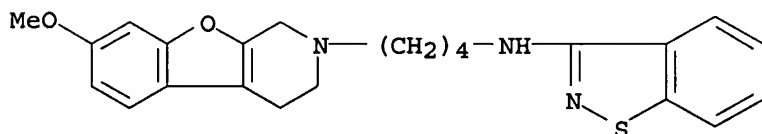
AB Title compds. [I; R = Z2R2; R1 = H or 1-2 of halo, OH, NO2, alkyl(oxy); R2 = pyrimidinonyl, dioxopuriny, 2-oxo-2H-1-benzopyran-3-yl, C6H4(OPh)-4, etc.; Z1 = O or SOO-2; Z2 = alkylene] were prepared. Thus, I (R1 = H, Z1 = S) (II; R = H) was condensed with 7-(2-chloroethyl)-1,3-dimethyl-7H-purine-2,6-(1H,3H)-dione to give II [R = 1,3-dimethyl-7H-purine-2,6-(1H,3H)-dion-7-ylethyl]. Data for biol. activity of I were given.

IT 263543-63-1P 263543-93-7P 263543-94-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroannelated piperidines as α 2-adrenoceptor antagonists)

RN 263543-63-1 CAPLUS

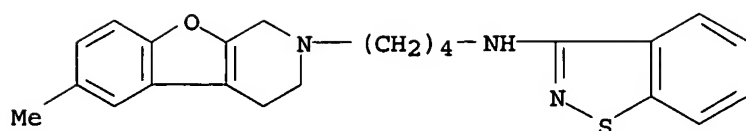
CN Benzofuro[2,3-c]pyridine-2(1H)-butanamine, N-1,2-benzisothiazol-3-yl-3,4-dihydro-7-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

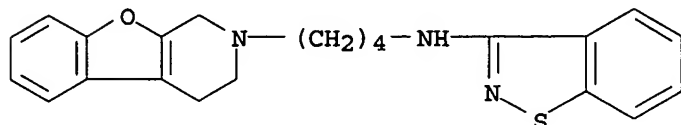
RN 263543-93-7 CAPLUS

CN Benzofuro[2,3-c]pyridine-2(1H)-butanamine, N-1,2-benzisothiazol-3-yl-3,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)



RN 263543-94-8 CAPLUS

CN Benzofuro[2,3-c]pyridine-2(1H)-butanamine, N-1,2-benzisothiazol-3-yl-3,4-dihydro- (9CI) (CA INDEX NAME)



L56 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:299659 CAPLUS

DN 126:277482

TI Preparation of benzoic acid compounds as drugs

IN Ito, Katsuhiko; Sonda, Shuji; Kawahara, Toshio; Asano, Kiyoshi; Kawakita, Takeshi

PA Yoshitomi Pharmaceutical Industries, Ltd., Japan

SO PCT Int. Appl., 176 pp.

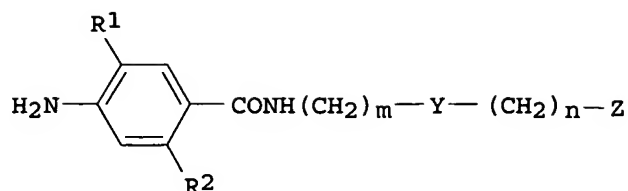
CODEN: PIXXD2

DT Patent

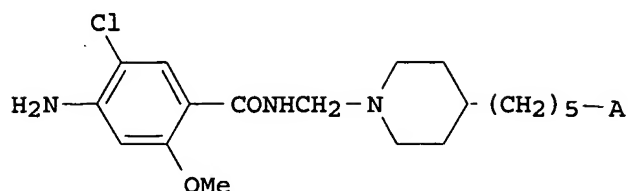
LA Japanese

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9711054	A1	19970327	WO 1996-JP2711	19960920
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9670017	A1	19970409	AU 1996-70017	19960920
	EP 873990	A1	19981028	EP 1996-931265	19960920
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	JP 1995-244040	A	19950922		
	JP 1996-77232	A	19960329		
	WO 1996-JP2711	W	19960920		
OS	MARPAT 126:277482				
GI					



I



II

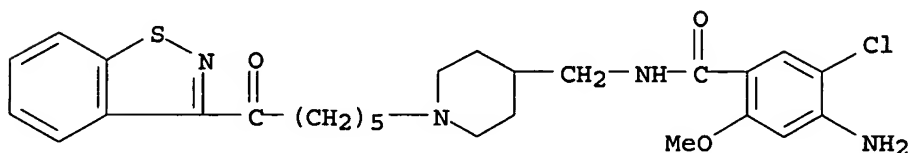
AB The title compds. [I; R1 = halo; R2 = (un)substituted lower alkoxy, cycloalkyloxy, etc.; m = 1-2; Y = N-containing heterocyclyl; n = 1-10; Z = NR4R5, X1R6, etc.; R4, R5 = H, lower alkyl, etc.; X1 = O, S; R6 = lower alkyl, cycloalkyl, aryl, etc.] are prepared I, having a high selective affinity for the serotonin 4 receptor (5-HT4) and showing agonism, are useful as drugs for preventing and treating various digestive diseases (e.g., reflux esophagitis, reflux gastroesophageal diseases accompanying cystic fibrosis such as gastroesophageal reflux, Barrett syndrome, pseudoileus, acute or chronic gastritis, gastroduodenal ulcer, Crohn's disease, non-ulcer dyspepsia, ulcerous colitis, postgastrectomy syndrome, postanesthetic digestive tract dysfunction, delayed gastric excretion caused by gastric neurosis, gastric ptosis, diabetes, etc.; gastrointestinal disorders such as maldigestion, ballonnement and abdominal unidentified complaint, constipation due to atonic constipation, chronic constipation, spinal cord injury, pelvic floor insufficiency, and irritable intestinal syndrome), central nervous system disorders (e.g., schizophrenia, depression, anxiety, memory disorder, and dementia), action disorders of heart (e.g., cardiac insufficiency and cardiac muscle ischemia), and urinary diseases (e.g., urinary obstruction, ureterolithiasis, prostatic hypertrophy, urinary difficulty accompanying spinal cord injury, and pelvic floor insufficiency). Further, these compds. are excellent in absorption characteristics. Thus, benzamide (II; A = H) was reacted with cyclohexylcarbaldehyde and then treated with NaBH4 to give the title compound II (A = cyclohexylmethyl), which showed Ki of 0.51 nM 5-HT4 receptor affinity.

IT 188972-19-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoic acid compds. as drugs)

RN 188972-19-2 CAPLUS

CN Benzamide, 4-amino-N-[[1-[6-(1,2-benzisothiazol-3-yl)-6-oxohexyl]-4-piperidinyl]methyl]-5-chloro-2-methoxy- (9CI) (CA INDEX NAME)

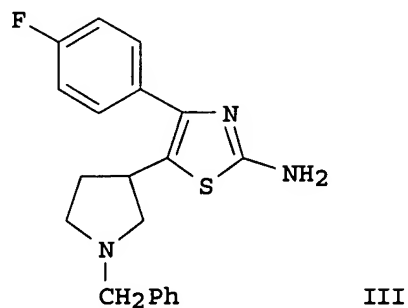
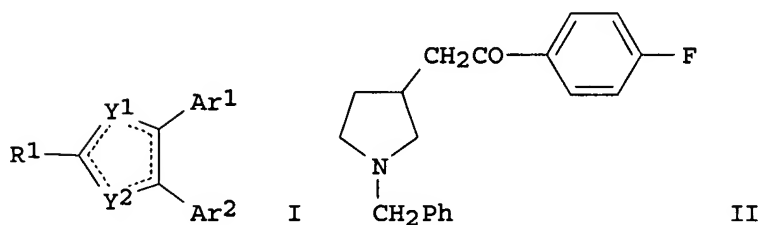


AN 1996:731862 CAPLUS
 DN 126:8111
 TI Preparation of thiazole derivatives as dopamine D4 receptor antagonists
 IN Nakazato, Atsuro; Kumagai, Toshihito; Chaki, Shigeyuki; Tomisawa, Kazuyuki; Nagamine, Masashi; Gotoh, Makoto; Yoshida, Masanori
 PA Taisho Pharmaceutical Co., Ltd., Japan; Nihon Nohyaku Co., Ltd.
 SO PCT Int. Appl., 76 pp.
 CODEN: PIXXD2

DT Patent
 LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9629330	A1	19960926	WO 1996-JP763	19960322
	W: AU, CA, CN, JP, KR, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2215951	AA	19960926	CA 1996-2215951	19960322
	AU 9650149	A1	19961008	AU 1996-50149	19960322
	AU 694626	B2	19980723		
	EP 816362	A1	19980107	EP 1996-906932	19960322
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1184478	A	19980610	CN 1996-194020	19960322
PRAI	JP 1995-62326	A	19950322		
	JP 1995-62327	A	19950322		
	JP 1995-62328	A	19950322		
	JP 1995-62329	A	19950322		
	JP 1995-287741	A	19951107		
	JP 1995-287742	A	19951107		
	JP 1995-287743	A	19951107		
	JP 1995-287744	A	19951107		
	WO 1996-JP763	W	19960322		
OS	MARPAT 126:8111				
GI					



AB Thiazole derivs. [I; Ar1 = optionally substituted Ph, thienyl; Y1, Y2 = N, S; R1 = H, C1-5 alkyl, Ph, optionally substituted amino; R2 = optionally

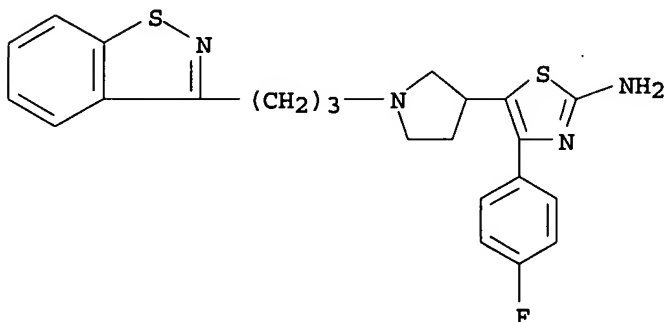
substituted N-heterocycle], effective as antipsychotic agents, are prepared II maleate was treated with 2N NaOH and partitioned with Et2O, which was distilled to give II residue, which was treated with Br in HOAc, HOAc was distilled, and the residue was refluxed with thiourea in EtOH to give thiazole III. I showed IC50 of 0.705-97.7 nM against [3H]-spiperone binding.

IT 183949-54-4P 183949-55-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazole derivs. as dopamine D4 receptor antagonists)

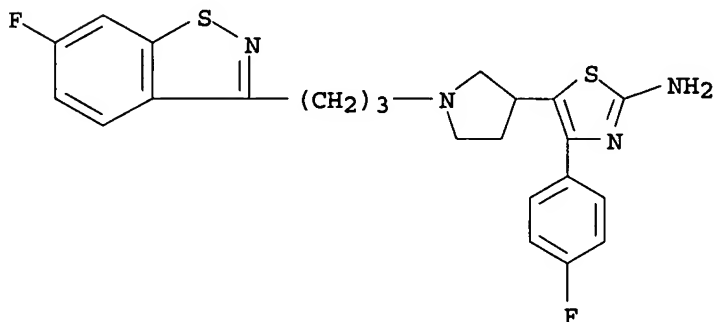
RN 183949-54-4 CAPLUS

CN 2-Thiazolamine, 5-[1-[3-(1,2-benzisothiazol-3-yl)propyl]-3-pyrrolidinyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 183949-55-5 CAPLUS

CN 2-Thiazolamine, 5-[1-[3-(6-fluoro-1,2-benzisothiazol-3-yl)propyl]-3-pyrrolidinyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L56 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1993:517118 CAPLUS

DN 119:117118

TI 4-(phenylalkyl)piperidines, e.g. spiro[isobenzofuran-1(3H),4'-piperidine] derivatives, and their use for the treatment of mental disorders

IN Moltzen, Ejner K.; Perregaard, Jens Kristian

PA Lundbeck, H., A/S, Den.

SO Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

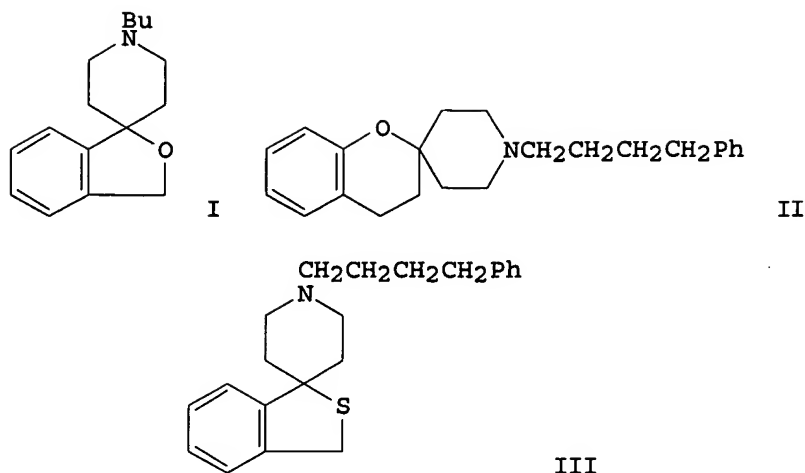
DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 518805	A1	19921216	EP 1992-610044	19920612
	R: PT				
	ZA 9204274	A	19930331	ZA 1992-4274	19920611
	WO 9222554	A1	19921223	WO 1992-DK183	19920612
	W: AU, CA, CS, FI, JP, KR, NO, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 9219848	A1	19930112	AU 1992-19848	19920612
	AU 664557	B2	19951123		
	EP 593511	A1	19940427	EP 1992-912044	19920612
	EP 593511	B1	19980902		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	JP 06508360	T2	19940922	JP 1992-500747	19920612
	JP 2834577	B2	19981209		
	EP 853085	A1	19980715	EP 1998-101728	19920612
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT				
	EP 859004	A1	19980819	EP 1998-101729	19920612
	EP 859004	B1	20030502		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT				
	AT 170523	E	19980915	AT 1992-912044	19920612
	JP 11001475	A2	19990106	JP 1998-139146	19920612
	ES 2123557	T3	19990116	ES 1992-912044	19920612
	RU 2142952	C1	19991220	RU 1993-58600	19920612
	SK 280899	B6	20000912	SK 1993-1409	19920612
	CA 2111204	C	20010227	CA 1992-2111204	19920612
	SK 281747	B6	20010710	SK 1999-1004	19920612
	SK 281748	B6	20010710	SK 1999-1005	19920612
	CZ 289479	B6	20020116	CZ 1993-2726	19920612
	AT 239022	E	20030515	AT 1998-101729	19920612
	CA 2296901	C	20041019	CA 1992-2296901	19920612
	NO 9304494	A	19940211	NO 1993-4494	19931209
	NO 306497	B1	19991115		
	FI 108137	B1	20011130	FI 1993-5558	19931210
	US 5665725	A	19970909	US 1993-166647	19931213
	US 5807871	A	19980915	US 1995-478563	19950607
	US 6031099	A	20000229	US 1995-486510	19950607
	JP 10316659	A2	19981202	JP 1998-139183	19980506
	JP 3203230	B2	20010827		
	HK 1009272	A1	20000428	HK 1998-109879	19980812
	US 6207677	B1	20010327	US 1999-391290	19990907
	NO 9904487	A	19940211	NO 1999-4487	19990916
	NO 9904488	A	19940211	NO 1999-4488	19990916
	NO 310275	B1	20010618		
	FI 9902134	A	19991004	FI 1999-2134	19991004
	FI 9902135	A	19991004	FI 1999-2135	19991004
	FI 112480	B1	20031215		
PRAI	DK 1991-1129	A	19910613		
	DK 1991-1131	A	19910613		
	DK 1992-157	A	19920210		
	CA 1992-2111204	A3	19920612		
	EP 1992-912044	A3	19920612		
	JP 1992-500747	A3	19920612		
	WO 1992-DK183	A	19920612		
	US 1993-166647	A3	19931213		
	US 1995-486510	A1	19950607		
OS	MARPAT 119:117118				
GI					



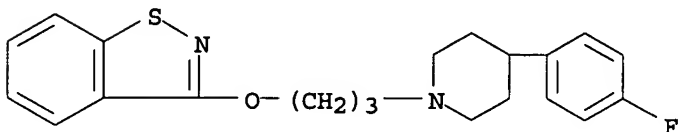
AB The use of some 4-(phenylalkyl)piperidines, e.g. spiro[isobenzofuran-1(3H),4'-piperidine] derivs., is claimed for the treatment of anxiety, psychosis, epilepsy, convulsions, movement disorders, amnesia, cerebrovascular diseases, senile dementia of the Alzheimer type or Parkinson's disease. Bromination of spiro[isobenzofuran-1(3H),4'-piperidine] gave 1'-butylspiro[isobenzofuran-1(3H),4'-piperidine] (I) which was isolated as the I-oxalate. I inhibited binding of 1,3-di-o-tolyl guanidine to σ -receptors. Also prepared and tested were 3,4-dihydro-1'-(4-phenylbutyl)spiro[1H-2-benzopyran-1,3'-piperidine] (II) as the II-oxalate and 1'-(4-phenylbutyl)spiro[benzo[c]thiophene-1(3H),4'-piperidine] (III) as the III maleate.

IT 147372-78-9P 147818-47-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as σ -receptor antagonist)

RN 147372-78-9 CAPLUS

CN 1,2-Benzisothiazole, 3-[3-[4-(4-fluorophenyl)-1-piperidinyl]propoxy]-(9CI) (CA INDEX NAME)



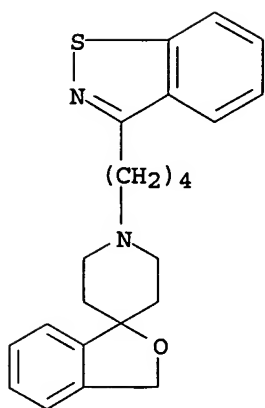
RN 147818-47-1 CAPLUS

CN Spiro[isobenzofuran-1(3H),4'-piperidine], 1'-[4-(1,2-benzisothiazol-3-yl)butyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 147818-46-0

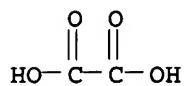
CMF C23 H26 N2 O S



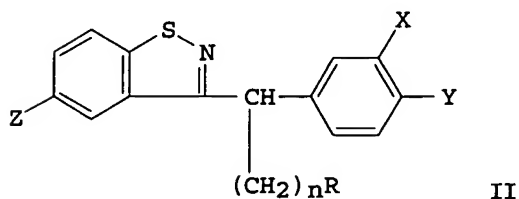
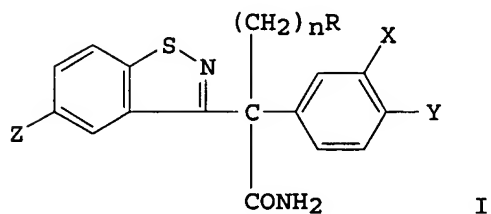
CM 2

CRN 144-62-7

CMF C2 H2 O4



L56 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1984:522572 CAPLUS
 DN 101:122572
 TI 3-Benzyl-1,2-benzisothiazoles: spasmolytic properties of aminoalkyl derivatives
 AU Plazzi, P. V.; Bordi, F.; Silva, C.; Vitali, F.; Impicciatore, M.; Morini, G.
 CS Fac. Farm., Univ. Parma, Parma, Italy
 SO Farmaco, Edizione Scientifica (1984), 39(8), 649-59
 CODEN: FRPSAX; ISSN: 0430-0920
 DT Journal
 LA Italian
 GI



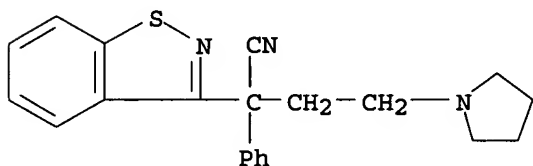
AB Nine aminophenylbenzisothiazolylalkanamides (I) and the corresponding phenylbenzisothiazolylalkane amines (II) (in both cases, X, Y, and Z = H or Cl; R = NMe₂, NEt₂, pyrrolidino, or morpholino; n = 2 or 3) were prepared from the corresponding aminophenylbenzisothiazolylakane nitriles by oxidation and hydrolysis, resp. In general, I and II had relatively nonspecific spasmolytic activity against the contractions of the isolated guinea pig ileum in response to BaCl₂, acetylcholine, and histamine. In most cases, the inhibition was noncompetitive; the antimuscarinic type of muscle relaxation was greater than the direct or H₁-antihistaminic types. I were generally more active than II. The presence of Cl on the benzene ring caused a loss of relative specificity for the muscarinic receptors; changing n from 2 to 3 decreased the antimuscarinic activity of I and potentiated that of II. Modifying the amino group also affected the type of spasmolytic activity.

IT 91919-15-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation and hydrolysis of)

RN 91919-15-2 CAPLUS

CN 1,2-Benzisothiazole-3-acetonitrile, α -phenyl- α -[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

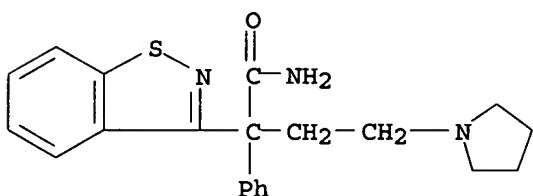


IT 91919-25-4P 91919-39-0P 91919-40-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and spasmolytic activity of, structure in relation to)

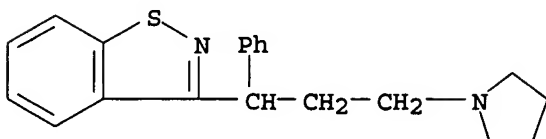
RN 91919-25-4 CAPLUS

CN 1,2-Benzisothiazole-3-acetamide, α -phenyl- α -[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



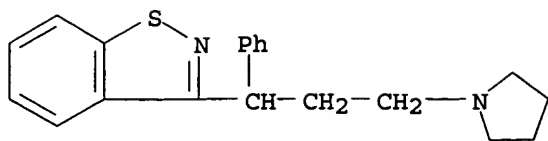
RN 91919-39-0 CAPLUS

CN 1,2-Benzisothiazole, 3-[1-phenyl-3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



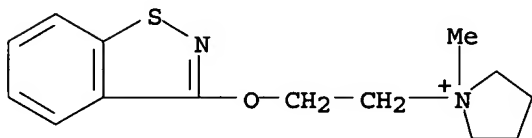
RN 91919-40-3 CAPLUS

CN 1,2-Benzisothiazole, 3-[1-phenyl-3-(1-pyrrolidinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



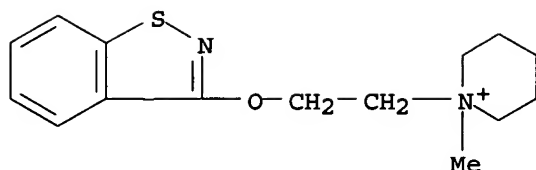
● HCl

L56 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1972:113120 CAPLUS
 DN 76:113120
 TI 3-Aminoalkoxy-1,2-benzisothiazoles. Pharmacological properties of quaternary ammonium salts
 AU Impicciatore, M.; Piccinin, G. L.; Mossini, F.; Laureri, C. F.
 CS Ist. Farmacol. Chim. Farm., Univ. Parma, Parma, Italy
 SO Farmaco, Edizione Scientifica (1972), 27(2), 109-17
 CODEN: FRPSAX; ISSN: 0430-0920
 DT Journal
 LA Italian
 GI For diagram(s), see printed CA Issue.
 AB N-[ω-(1,2-Benzothiazol-3-yloxy)-alkyl]-N,N,N-trialkylammoniums (I) and the 1,2-benzoxazole analogs (II) are prepared by quaternization. I (R = R1 = Me, X = iodine, n = 2) is prepared by quaternization of the corresponding amine with MeI. Similarly prepared are 9 other I [R = C1-4 alkyl or (R2N) = pyrrolidinyl, piperidino, morpholino; R1 = Me, phenacyl; X = iodine, Br, n = 2, 3] and 5 II (R = Me, Et; R1 = Me, Et; X = iodine, MeSO4, n = 2, 3). I and II have potential acetylcholine and nicotine activity.
 IT 35588-12-6P 35588-13-7P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
 RN 35588-12-6 CAPLUS
 CN Pyrrolidinium, 1-[2-(1,2-benzisothiazol-3-yloxy)ethyl]-1-methyl-, iodide (9CI) (CA INDEX NAME)



● I⁻

RN 35588-13-7 CAPLUS
 CN Piperidinium, 1-[2-(1,2-benzisothiazol-3-yloxy)ethyl]-1-methyl-, iodide (9CI) (CA INDEX NAME)



● I⁻

L56 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1970:31781 CAPLUS

DN 72:31781

TI 1,2-Benzisothiazole and 1,2-benzisoxazole ethers

IN Vitali, Tullo; Ponci, Riccardo; Bertecchini, Franco

PA Maggioni and Co. S.p.A.

SO Ger. Offen., 15 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1915644	A	19691009	DE 1969-1915644	19690327
	GB 1265824	A	19720308	GB 1969-1265824	19690326
PRAI	IT 1968-14481	A	19680328		

GI For diagram(s), see printed CA Issue.

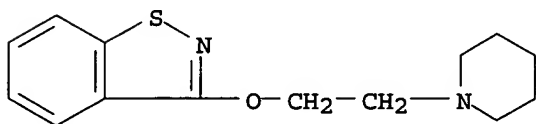
AB Title ethers useful as antihistamines, anesthetics or spasmolytic agents, are prepared Thus 0.1 mole benzisothiazolinone, 0.1 mole pyridine, and 0.15 mole POC 13 is heated 5 hr at 120° to give 80-90% 3-chlorobenz-isothiazole (I). Heating 0.02 mole Na and 0.03 mole Bu₂NCH₂CH₂OH in 30 ml xylene gives the Na derivative, which is made to react with 0.02 mole I 30-40 min to give 70% II HCl salt m. 108-9°. Alternatively, 0.04 mole Na salt of benzisothiazolinone is dissolved in 100 ml Me₂SO, 0.04 mole Et₂ NCH₂CH₂Cl added, and the mixture kept 3 hr at 100° to give a 2:3 isomeric mixture of N and O derivs. b0.01 110 -30°. Other examples were given; compds. claimed were tabulated.

IT 19767-24-9P 21309-88-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 19767-24-9 CAPLUS

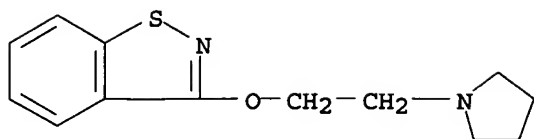
CN 1,2-Benzisothiazole, 3-[2-(1-piperidinyl)ethoxy]-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

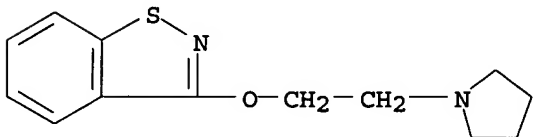
RN 21309-88-6 CAPLUS

CN 1,2-Benzisothiazole, 3-[2-(1-pyrrolidinyl)ethoxy]-, monohydrochloride
(8CI) (CA INDEX NAME)



● HCl

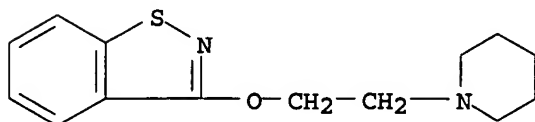
L56 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1969:449831 CAPLUS
 DN 71:49831
 TI Biological properties of 1,2-benzisothiazoles. Antiinflammatory and antihistamine activity of 3-(alkylaminoalkoxy)benzisothiazoles
 AU Vitali, Tullo; Gaetani, E.; Mantovani, P.; Agosti, A.
 CS Ist. Chim. Farm. Tossicol., Univ. Parma, Parma, Italy
 SO Farmaco, Edizione Scientifica (1969), 24(4), 440-8
 CODEN: FRPSAX; ISSN: 0430-0920
 DT Journal
 LA Italian
 GI For diagram(s), see printed CA Issue.
 AB Salts I are prepared Thus, 10.8 g. 5-methoxy-1,2-benzisothiazolin-3-one in 4.8 ml. pyridine is treated with 13.8 g. POCl₃; the mixture is heated 7 hrs. at 130-40° to give 8.3 g. 3-chloro-5-methoxy-1,2-benzisothiazole (II), m. 73.5-4.0°. II (2 g.) is added to a solution of 0.23 g. Na in 5 ml. Et₂NCH₂CH₂OH; the mixture is kept in boiling water 20-30 min. and HCl is added to give 1.5 g. N,N-diethyl-N-[2-(5-methoxy-1,2-benzisothiazol-3-yloxy)ethyl]ammonium chloride (III), m. 186°. Also prepared are the following I (R₂ = H, X = iodide) (n, R, R₁, and m.p. given): 2, Pr, Me, 139-40°; 3, Me, Me, 201-2°. III has antihistamine activity on guinea pig ileum.
 IT 21309-88-6P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
 RN 21309-88-6 CAPLUS
 CN 1,2-Benzisothiazole, 3-[2-(1-pyrrolidinyl)ethoxy]-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L56 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1969:37702 CAPLUS
 DN 70:37702
 TI Biological properties of 1,2-benzisothiazoles. Local anesthetic activity of 3-alkylaminoalkoxybenzisothiazoles
 AU Vitali, Tullo; Mossini, Ferdinando; Bertaccini, Giulio; Impicciatore,

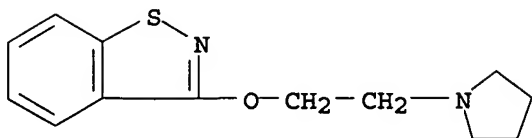
Mariannina
 CS Ist. Chim. Farm., Univ. Parma, Parma, Italy
 SO Farmaco, Edizione Scientifica (1968), 23(11), 1081-96
 CODEN: FRPSAX; ISSN: 0430-0920
 DT Journal
 LA Italian
 OS CASREACT 70:37702
 GI For diagram(s), see printed CA Issue.
 AB I(Y = S) are prepared from II; I (Y = O) compds. are also prepared Thus, benzisothiazolin-3-one Na salts are treated with ω -aminoalkyl halides and II (R = Cl) are treated with HO(CH₂)_nNRR1 in the presence of Na to give 3-(2-diethylaminoethoxy)-benzisothiazole (III), b0.15 110-12°, (HCl salt m. 165-7°), and the following I (Y = S, R = R1) (X, n, R or NRR1, and m.p. HCl salt given): H, 2, Pr, 198-9°; H, 2, iso-Pr, 168-9°; H, 2, Bu, 108-10°; H, 2, pyrrolidinyl (IV), 172-3°; H, 2, piperidino, 218-19°; H, 2, morpholino, 201-2°; 4-Cl, 2, Et, 218-20°; 5-Cl, 2, Et, 216-17°; 6-Cl, 2, Et, 176-8°; 7-Cl, 2, Et, 208-10°; 4-Me, 2, Et, 203-4°; 5-Me, 2, Et, 213-14°; 6-Me, 2, Et, 143-5°; 7-Me, 2, Et, 178-9°; H, 3, Me, 215-16°; H, 3, Et, 143-4°. Also prepared are the following I (Y = O, X = H) (n, R, R1, and m.p. HCl salt given): 2, H, Me, 181-3°; 2, Me, Me, 175-6°; 2, H, Et, 185-6°; 2, Et, Et, 160-1°; 3, Me, Me, 159-60°; 3, Et, Et, 124-5°; 2, NRR1 = pyrrolidinyl, -, 175-7°; and the following I (Y = S, X = R = H, n = 2) (R1 and m.p. HCl salt given): Me (V), 174-5°; Et, 169-71°; Bu (VI), 168-70°. V is methylated to give I (X = H, Y = S, n = 2, R = R1 = Me) (VII), HCl salt m. 177-8°. Also prepared, according to known methods, are the following II (X, R, and m.p. given): H, Cl, 39-40°; 4-Cl, Cl, 119-21°; 5-Cl, Cl, 190-1°; 6-Cl, Cl, 101-2°; 7-Cl, Cl, 70-2°; 4-Me, Cl, 82-3°; 5-Me, Cl, 60-2°; 6-Me, Cl, 37-8°; 7-Me, Cl, 42-3°; H, OCH₂CH₂OH, 46-7° (b0.2 132-5°); H, 2-(benzisothiazol-3-yloxy)ethoxy, 164.5-5°; H, NHCH₂CH₂OH, 132-3° (HCl salt m. 215-16°); H, NMeCH₂CH₂OH, 191-2°; and the following compds. (m.p. and m.p. HCl salt given): 3-chloro-1,2-benzisoxazole, 30°, -; 2-(2-diethylaminoethyl)-benzisothiazolin-3-one, -, 180-1° (b0.08 128-30°); 2-(2-chloroethyl)-benzisothiazolin-3-one, 92-3°, -. III is hydrolyzed (HCl) to give 1,2-benzisothiazolin-3-one, m. 154-6°. Ir spectral data are given for I (Y = S) and I (Y = O). The infiltration anesthetic activity (iaa) (mice), surface anesthetic activity (rabbit cornea), and conduction anesthetic activity (sciatic nerve, frogs) for the I are determined The iaa of IV, V, VI, and VII is at least as good as that of III which is almost as active as lidocaine.
 IT 19767-24-9P 21309-88-6P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
 RN 19767-24-9 CAPLUS
 CN 1,2-Benzisothiazole, 3-[2-(1-piperidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 21309-88-6 CAPLUS

CN 1,2-Benzisothiazole, 3-[2-(1-pyrrolidinyl)ethoxy]-, monohydrochloride
(8CI) (CA INDEX NAME)



● HCl

L56 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1963:73353 CAPLUS

DN 58:73353

OREF 58:12570c-g

TI 1,2-Benzisothiazolones

IN A.-G., Knoll

PA Chemische Fabriken.

SO 20 pp.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	BE 617384		19621108	BE	
	DE 1147947			DE	
	US 3227715		19660104	US 1962-211850	19620723
PRAI	DE		19610725		

GI For diagram(s), see printed CA Issue.

AB The title compds. had antiinflammatory properties, and could be used as antiphlogistics. To a cooled suspension of 69 g. diphenyl disulfide 2,2'-dicarboxylic acid dichloride in 500 cc. anhydrous CCl₄, dry Cl was added to solution of the solid. (The 2-chlorosulphenylbenzoyl chloride formed could be isolated, m. 66-8°.) The solution was concentrated under reduced pressure to half volume, and then slowly added to a solution of 81 g. β-dimethylaminopropylamine in 250 cc. CCl₄ at <20°. Stirring was continued 1 hr. at ambient temperature, and then dilute HCl-extracted The base

was precipitated from the exts. by dilute NaOH; and then etherextd. Dried over K₂CO₃, the solvent was then eliminated, and the oily residue vacuum-concentrated

to give 80 g. 2-γ-dimethylaminopropyl-1,2-benzisothiazolone, b0.2 157-9°; maleate m. 115-16°. Similarly prepared were 2-β-piperidinoethyl-1,2-benzisothiazolone-HCl, m. 215-16°, 2-β-morpholinoethyl-1,2-benzisothiazolone-HCl, m. 225-7°, 5-chloro-2-β-dimethylaminopropyl-1,2-benzisothiazolone-HCl, m. 224-5°, and 5,7-dichloro-2-β-diethylaminoethyl-1,2-benzisothiazolone-HCl, m. 180-1°. The following I were similarly prepared (R and m.p. base or salt given): β-diethylaminoethyl, HCl salt 179-80° [from diphenyl disulfide 2,2'-dicarboxylic acid bis(β-diethylaminoethyl)amide, m. 135-6°]; β-cyclohexylaminoethyl, HCl salt, 216-18°; β-pyrrolidinoethyl, free base, 87-8°; β-(N'-methylpiperazino)ethyl, di-HCl salt, 268-9°; β-(3-methoxypropylamino)ethyl, HCl salt, 126-7°; β-butylaminoethyl, HCl salt, 168-9°; β-piperidinoethyl, HCl salt, 215-16°; γ-methylaminopropyl, oxalate, 135-6°; γ-methylaminopropyl, HCl salt, 133-4°; γ-dimethylaminopropyl,

sulfamate, 135-6°; γ -allylaminopropyl, oxalate, 142-3°; γ -allylaminopropyl, HCl salt, 159-60°; γ -isobutylaminopropyl, HCl salt, 194-6°; γ -pyrrolidinopropyl, HCl salt, 153-5°; γ -(β -hydroxyethylamino)propyl, oxalate, 163-4°; γ -aminopropyl, oxalate, 177-8° (from γ -phthalimidopropyl-1,2-benzisothiazolone, m. 148-9°); γ -aminopropyl, HCl salt, 204-5°; γ -dimethylaminopropyl, maleate, m. 114-15°; γ -dimethylaminopropyl, sulfamate, 135-6°; γ -dimethylaminopropyl, methosulfate, 178-9°; γ -dimethylaminopropyl, methiodide, 191-2°.

IT 100193-85-9, Phthalimide, N-[3-(2-oxo-1,2-benzisothiazolin-2-yl)-propyl]-

(preparation of)

RN 100193-85-9 CAPLUS

CN Phthalimide, N-[3-(2-oxo-1,2-benzisothiazolin-2-yl)propyl]- (7CI) (CA INDEX NAME)

